

10518612 and 10519219

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 4 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 5 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6 SEP 11 CA/CAplus enhanced with more pre-1907 records
NEWS 7 SEP 21 CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 8 SEP 25 CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 9 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 10 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 11 SEP 28 CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 12 OCT 19 LOGOFF HOLD duration extended to 120 minutes
NEWS 13 OCT 19 E-mail format enhanced
NEWS 14 OCT 23 Option to turn off MARPAT highlighting enhancements available
NEWS 15 OCT 23 CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 16 OCT 23 The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 17 OCT 30 CHEMLIST enhanced with new search and display field
NEWS 18 NOV 03 JAPIO enhanced with IPC 8 features and functionality
NEWS 19 NOV 10 CA/CAplus F-Term thesaurus enhanced
NEWS 20 NOV 10 STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 21 NOV 13 CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 22 NOV 20 CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 23 NOV 20 CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 24 DEC 01 CAS REGISTRY updated with new ambiguity codes
NEWS 25 DEC 11 CAS REGISTRY chemical nomenclature enhanced
NEWS 26 DEC 14 WPIDS/WPINDEX/WPIX manual codes updated
NEWS 27 DEC 14 GBFULL and FRFULL enhanced with IPC 8 features and functionality

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

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NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 10:04:49 ON 18 DEC 2006

=> fil reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

| | |
|-----------------------------|--------------------------|
| SINCE FILE ENTRY 0:21 | TOTAL SESSION 0:21 |
|-----------------------------|--------------------------|

FILE 'REGISTRY' ENTERED AT 10:05:14 ON 18 DEC 2006
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STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6
DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

New CAS Information Use Policies, enter **HELP USAGETERMS** for details.

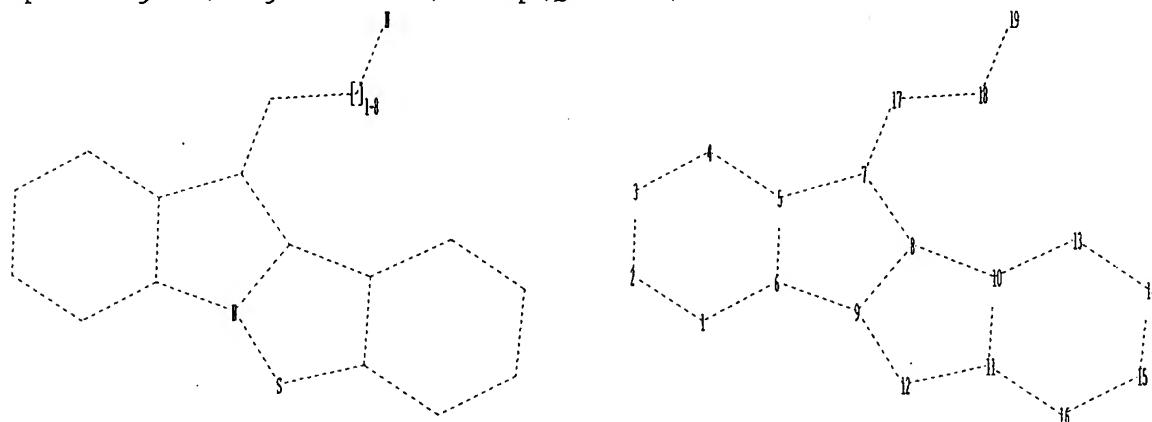
TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches. .

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

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=> Uploading C:\Program Files\Stnexp\Queries\10519219.str
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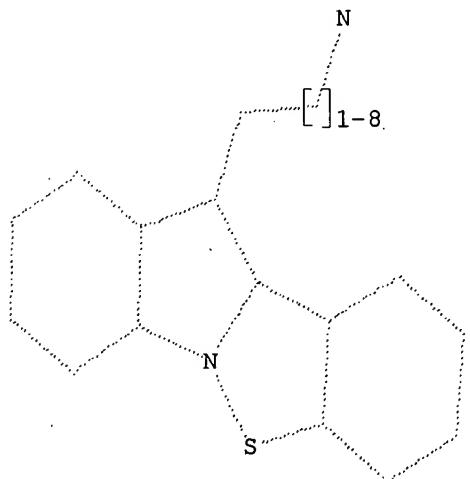
, 10518612 and 10519219

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chain nodes :  
17 18  
ring nodes :  
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16  
ring/chain nodes :  
19  
chain bonds :  
7-17 17-18 18-19  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 8-10 9-12 10-11 10-13 11-12  
11-16 13-14 14-15 15-16  
exact/norm bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-17 8-9 8-10 9-12 10-11 10-13  
11-12 11-16 13-14 14-15 15-16 17-18 18-19
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L1 STRUCTURE UPLOADED

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=> d 11  
L1 HAS NO ANSWERS  
L1 STR
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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:05:58 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE
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100.0% PROCESSED 4 ITERATIONS 3 ANSWERS  
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**  
BATCH **COMPLETE**
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PROJECTED ITERATIONS: 4 TO 200
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 10:06:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 68 TO ITERATE

100.0% PROCESSED 68 ITERATIONS 55 ANSWERS
SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 167.38 167.59

FILE 'HCAPLUS' ENTERED AT 10:06:08 ON 18 DEC 2006
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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 1 L3

=>
=> d edu ibib abs hitstr
'EDU' IS NOT A VALID FORMAT FOR FILE 'HCAPLUS'

The following are valid formats:

ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing

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FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
SCAN must be entered on the same line as the DISPLAY,
e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs

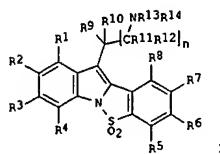
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All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):end

=> d ed abs ibib hitstr

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 02 Jan 2004
 GI



AB The title compds. [I; R1-R12 = H, halo, oxo, thio, etc.; or the adjacent groups like R1 and R2 together with carbon atoms to which they are attached may form 5-7 membered ring which may further contain one or more double bonds and/or one or more heteroatoms such as O, N, S, Se or R9 and R10 or R11 and R12 together represent double bond attached to O or S; or R9 and R10 or R11 and R12 together with the carbon atoms to which they are attached may form 5-6 membered ring which may further contain one or more double bonds, and/or one or more heteroatoms such as O, N, S or Se; R13, R14 = H, alkyl, alkenyl, cycloalkyl, aryl, etc.; or NR13R14 = 3-7 membered heterocyclyl; n = 1-8], useful for treating conditions where a modulation of 5-HT activity is desired (no data given), were prepared. Thus, reacting 1-(2'-bromophenylsulfonyl)-N,N-dimethyltryptamine with N,N-dimethylacetamide in the presence of PdCl2[P(o-tolyl)3]2 and AcOK afforded 6-(2-N,N-dimethylaminoethyl)benzo[d]isothiazolo[3,2-a]indole-5,5-dioxide. This invention also relates to processes for preparing compds I, compns. containing effective amts. of compound I and the use of such compound/composition in therapy.

ACCESSION NUMBER: 20042891 HCAPLUS

DOCUMENT NUMBER: 140:77139

TITLE: Preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity

INVENTOR(S): Jasti, Venkateswarlu; Ramakrishna, Venkata Satya; Nirogi, Kambhampati; Rama Sastri; Battula, Srinivasa Reddy; Veeraraddy, Aravai Rao; Venkata Satya; Veerabhadra Vadlamudi

PATENT ASSIGNEE(S): Suven Pharmaceuticals Ltd., India; Suven Life Sciences Ltd.

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

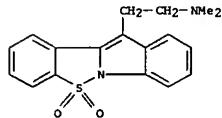
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

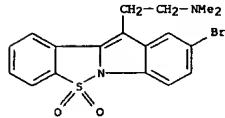
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2004000849 | A2 | 20031231 | WO 2003-1N222 | 20030619 |

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



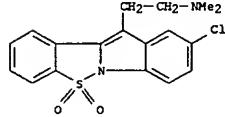
RN 639794-00-6 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-bromo-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



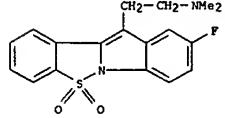
RN 639794-03-9 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-chloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-06-2 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-fluoro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-09-5 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,9-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

WO 2004000849 A3 20040325

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZV

RW: GH, GM, KE, LS, MW, HZ, SD, SL, SZ, TZ, UG, ZM, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

CA 2490254 A1 20031231 CA 2003-2490254

AU 2003249582 A1 20040106 AU 2003-249582

BR 2003012176 A 20050405 BR 2003-12176

EP 1523486 A2 20050420 EP 2003-760857

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1662544 A1 20050831 CN 2003-814602

JP 2005535621 T 20051124 JP 2004-515418

US 2005203154 A1 20050915 US 2005-519219

PRIORITY APPLN. INFO.: IN 2002-MA478 A 200502612

WO 2003-1N222 W 20030619

OTHER SOURCE(S): MARPAT 140:77139

IT 639794-00-06 639794-00-39 639794-03-9P

639794-06-2P 639794-09-5P 639794-12-0P

639794-15-3P 639794-18-6P 639794-20-0P

639794-22-2P 639794-24-4P 639794-26-6P

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639794-35-7P 639794-37-9P 639794-39-1P

639794-41-5P 639794-42-6P 639794-43-7P

639794-44-8P 639794-47-1P 639794-49-3P

639794-51-7P 639794-53-9P 639794-55-1P

639794-57-3P 639794-58-4P 639794-59-5P

639794-61-9P 639794-63-1P 639794-65-3P

639794-67-5P 639794-69-7P 639794-71-1P

639794-73-3P 639794-75-5P 639794-77-7P

639794-80-2P 639794-82-4P 639794-85-7P

639794-87-9P 639794-90-4P 639794-92-6P

639794-94-8P 639794-97-1P 639794-99-3P

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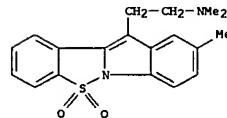
RL: PAC (Pharmacological activity): SPA (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity)

RN 639793-97-8 HCAPLUS

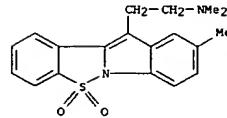
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-12-0 HCAPLUS

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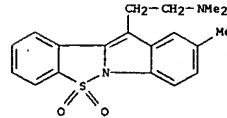
● HCl

RN 639794-15-3 HCAPLUS
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CM 1

CRN 639794-09-5

CMF C19 H20 N2 O2 S



CM 2

CRN 110-16-7

CMF C4 H4 O4

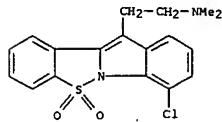
Double bond geometry as shown.

10518612 and 10519219

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

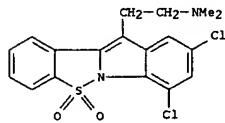
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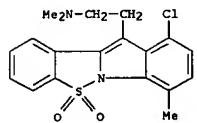
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CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-dichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-43-7 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 10-chloro-N,N,7-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



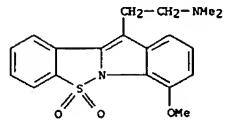
RN 639794-44-8 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9,10-trichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

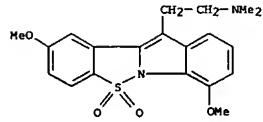
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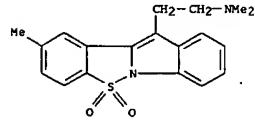
RN 639794-55-1 HCAPLUS

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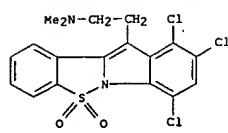
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RN 639794-58-4 HCAPLUS

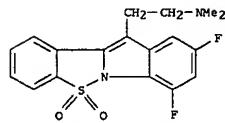
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L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



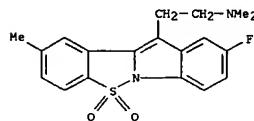
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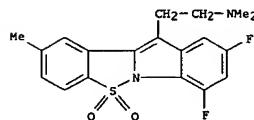
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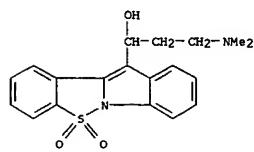


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CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-difluoro-N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

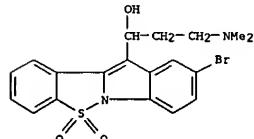


L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



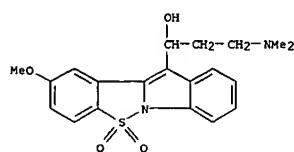
RN 639794-59-5 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo- α -(2-(dimethylamino)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639794-61-9 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α -(2-(dimethylamino)ethyl)-2-methoxy-, 5,5-dioxide (9CI) (CA INDEX NAME)

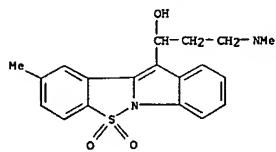


RN 639794-63-1 HCAPLUS

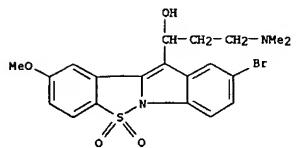
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α -(2-(dimethylamino)ethyl)-2-methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

10518612 and 10519219

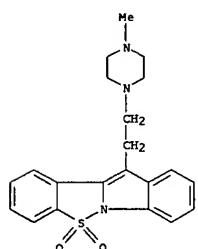
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-65-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo- α -[2-(dimethylamino)ethyl]-2-methoxy-, 5,5-dioxide (9CI) (CA INDEX NAME)

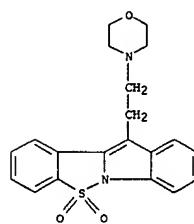


RN 639794-67-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-(2-(4-methyl-1-piperazinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

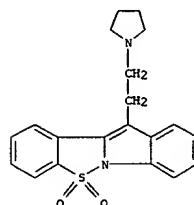


RN 639794-69-7 HCAPLUS

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(4-morpholinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

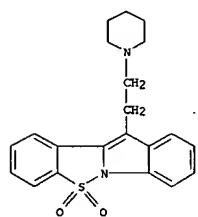


RN 639794-71-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(1-pyrrolidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

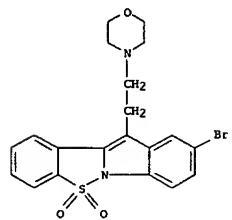


RN 639794-73-3 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 11-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

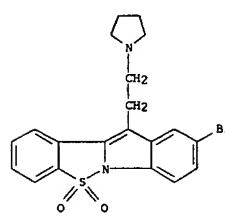


RN 639794-75-5 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(4-morpholinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

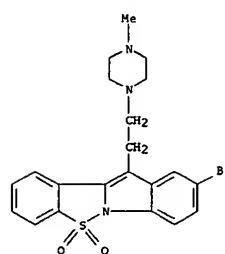


RN 639794-77-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



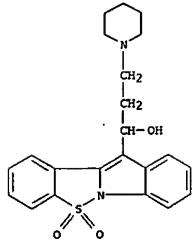
RN 639794-80-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole, 9-bromo-11-[2-(4-methyl-1-piperazinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)



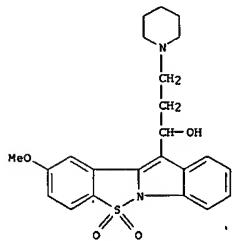
RN 639794-82-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α -[2-(1-piperidinyl)ethyl]-, 5,5-dioxide (9CI) (CA INDEX NAME)

10518612 and 10519219

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

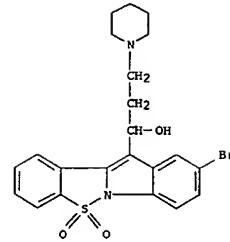


RN 639794-85-7 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 2-methoxy- α -(2-(1-piperidinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

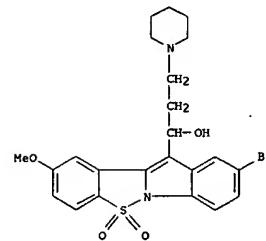


RN 639794-87-9 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo- α -(2-(1-piperidinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

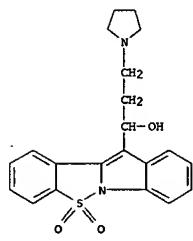


RN 639794-90-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo-2-methoxy- α -(2-(1-piperidinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

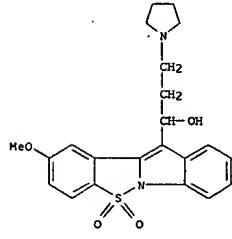


RN 639794-92-6 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α -(2-(1-pyrrolidinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

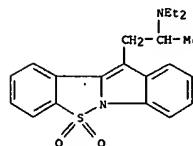
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 639794-94-8 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 2-methoxy- α -(2-(1-pyrrolidinyl)ethyl)-, 5,5-dioxide (9CI) (CA INDEX NAME)

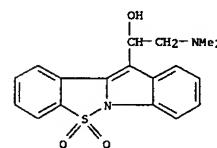


RN 639794-97-1 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N-diethyl- α -methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

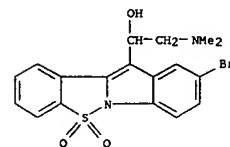


RN 639794-99-3 HCAPLUS

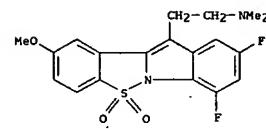
L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, α -(dimethylamino)methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



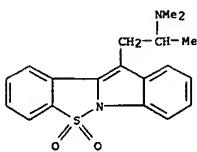
RN 639795-01-0 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-methanol, 9-bromo- α -(dimethylamino)methyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



RN 639795-03-2 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,9-difluoro-2-methoxy-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

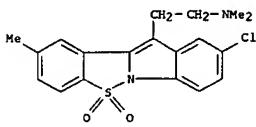


RN 639795-05-4 HCAPLUS
CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, N,N,α-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



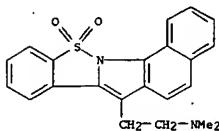
RN 639795-06-5 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 9-chloro-N,N,2-trimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)



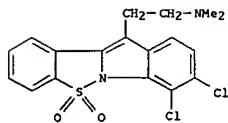
RN 639795-09-8 HCAPLUS

CN Benz[6,7]indolo[1,2-b][1,2]benzisothiazole-7-ethanamine, N,N-dimethyl-, 12,12-dioxide (9CI) (CA INDEX NAME)



RN 639795-98-5 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 7,8-dichloro-N,N-dimethyl-, 5,5-dioxide (9CI) (CA INDEX NAME)

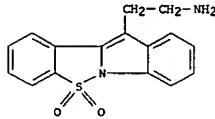


IT 639795-96-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel tetracyclic arylsulfonyl indoles having serotonin receptor affinity)

RN 639795-96-3 HCAPLUS

CN Indolo[1,2-b][1,2]benzisothiazole-11-ethanamine, 5,5-dioxide (9CI) (CA INDEX NAME)



10518612 and 10519219

| | | | |
|--|------------|---------|--|
| => fil reg | | | |
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| | ENTRY | SESSION | |
| FULL ESTIMATED COST | 17.76 | 185.35 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
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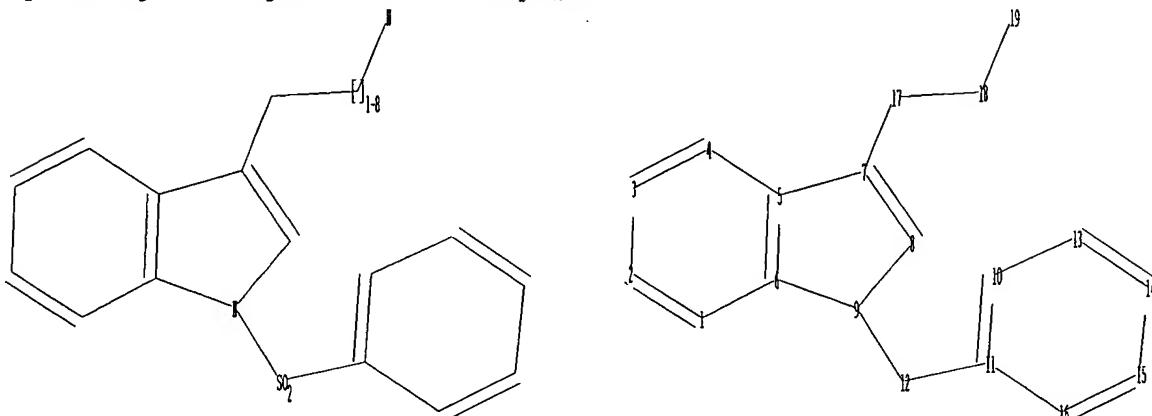
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ring nodes :

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ring/chain nodes :

10518612 and 10519219

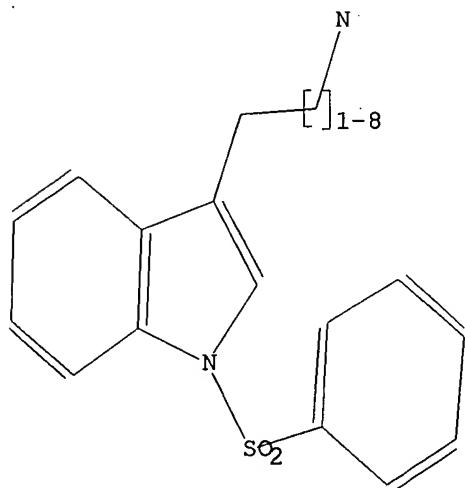
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chain bonds :
7-17 9-12 11-12 17-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-13 10-11 11-16 13-14 14-15
15-16
exact/norm bonds :
5-7 6-9 7-8 8-9 9-12 18-19
exact bonds :
7-17 11-12 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-11 11-16 13-14 14-15 15-16

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS

L5 STRUCTURE UPLOADED

=> d 15
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 10:10:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 181 TO ITERATE

100.0% PROCESSED 181 ITERATIONS
SEARCH TIME: 00.00.01

40 ANSWERS

10518612 and 10519219

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2813 TO 4427
PROJECTED ANSWERS: 421 TO 1179

L6 40 SEA SSS SAM L5

=> s 15 full
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FULL SCREEN SEARCH COMPLETED - 3981 TO ITERATE

100.0% PROCESSED 3981 ITERATIONS 986 ANSWERS
SEARCH TIME: 00.00.01

L7 986 SEA SSS FUL L5

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION | |
| FULL ESTIMATED COST | 167.82 | 353.17 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION | |
| CA SUBSCRIBER PRICE | 0.00 | -0.75 | |

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FILE COVERS 1907 - 18 Dec 2006 VOL 145 ISS 26
FILE LAST UPDATED: 17 Dec 2006 (20061217/ED)

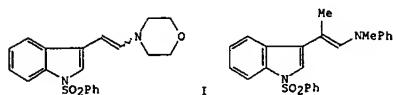
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L8 294 L7

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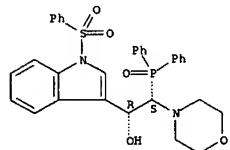
L8 ANSWER 200 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 05 Sep 1992
 GI



AB 3-Acyliindoles react with α -amino- α' -diphenylphosphinoyl-substituted carbanions to give 3-(2'-aminovinyl)indoles I and II via carbinols. The electron-rich I and II undergo Diels-Alder reactions with N-phenylmaleimide.

ACCESSION NUMBER: 1992:490548 HCAPLUS
 DOCUMENT NUMBER: 117:90548
 TITLE: A new access to 3-(2'-aminovinyl)indoles and their first Diels-Alder reactions
 AUTHOR(S): Pindur, Ulf; Otto, Christian
 CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
 SOURCE: Chemistry Letters (1992), (3), 403-6
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:90548
 IT 141987-03-3P 141987-04-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and dehydration of)
 RN 141987-03-3 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

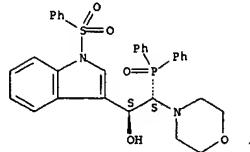
Relative stereochemistry.



RN 141987-04-4 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-

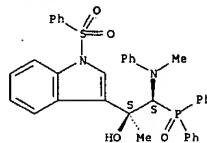
L8 ANSWER 200 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



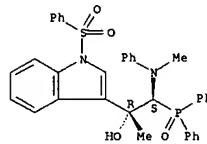
IT 141987-08-8P 141987-20-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and elimination reaction of)
 RN 141987-08-8 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



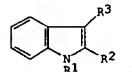
RN 141987-20-4 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L8 ANSWER 200 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

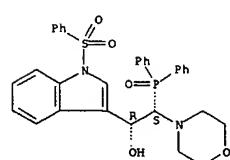
L8 ANSWER 201 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 26 Jul 1992
 GI



AB Condensation of carbanions of $\text{RCH}_2\text{P}(\text{O})\text{Ph}_2$ (R = morpholino, PhNMe) with acylindoles I ($\text{R}1 = \text{Me, SO}_2\text{Ph}; \text{R}2 = \text{H, CHO; R}3 = \text{H, CHO, Ac}$) gave vinylindoles I [$\text{R}1 = \text{Me, R}2 = \text{CH:CHNMe}_2, \text{R}3 = \text{H; R}1 = \text{SO}_2\text{Ph, R}2 = \text{H, R}3 = \text{C}(\text{Me})\text{CHNMe}_2$; morpholinovinyl; $\text{R}1 = \text{Me, R}2 = \text{H, R}3 = \text{morpholinovinyl}$] (II) via isolable carbinols I [$\text{R}1 = \text{same; R}2 = \text{H, CH(OH)CH(NMe}_2[\text{P}(\text{O})\text{Ph}_2], \text{R}3 = \text{H, COH(Me)CH(NMe}_2[\text{P}(\text{O})\text{Ph}_2], \text{CH(OH)CH}_4[\text{P}(\text{O})\text{Ph}_2], \text{R}4 = \text{morpholino}$]. The heterocyclic dienes II readily underwent Diels-Alder reactions with N-phenylmaleimide.

ACCESSION NUMBER: 1992:426242 HCAPLUS
 DOCUMENT NUMBER: 117:26242
 TITLE: A new access to 2'-amino-substituted vinylindoles as donor-activated heterocyclic dienes and their first Diels-Alder reactions
 AUTHOR(S): Pindur, Ulf; Otto, Christian
 CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
 SOURCE: Tetrahedron (1992), 48(17), 3515-26
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:26242
 IT 141987-03-3P 141987-04-4P 141987-08-8P
 141987-20-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, spectra and elimination reaction of)
 RN 141987-03-3 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

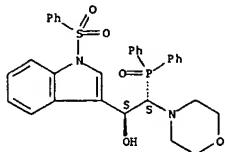
Relative stereochemistry.



RN 141987-04-4 HCAPLUS
 CN 1H-Indole-3-methanol, α -[(diphenylphosphinyl)-4-morpholinylmethyl]-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

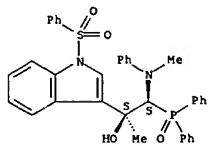
10518612 and 10519219

L8 ANSWER 201 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
Relative stereochemistry.



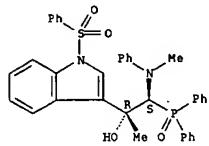
RN 141987-08-8 HCAPLUS
CN 1H-Indole-3-methanol, α -(diphenylphosphinyl)(methylphenylamino)methyl- α -methyl-1-(phenylsulfonyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



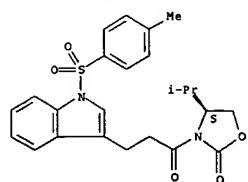
RN 141987-20-4 HCAPLUS
CN 1H-Indole-3-methanol, α -(diphenylphosphinyl)(methylphenylamino)methyl- α -methyl-1-(phenylsulfonyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

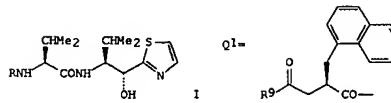


L8 ANSWER 202 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



L8 ANSWER 202 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 08 Feb 1992

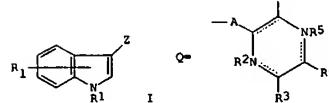


AB QNR3CHR4CONR5CHR6CH(OH)A [A = (un)substituted heteroaryl; Q = (R)-RICOWCHR2CO; R1 = H, alkyl; R8 = (un)substituted alkyl; or NR7R8 = heterocyclyl; R2 = (un)substituted arylmethyl; R3, R5 = H, Me; R4 = (amino)alkyl, PhCH2, alkoxy, heteroarylalkyl, etc.; R6 = (alkoxy)alkyl, PhCH2, cyclohexylmethyl, etc.; V = CH2, O] were prepared. Thus, QOH (Q = acylsulfonyl group Q1; R9 = OCMe3) (preparation given) was condensed with leucylaminopentanol I (R = H) (preparation given) to give I (R = Q1, R9 = OCMe3). I [R = Q1, R9 = 2-(N-methyl-2-pyrrolyl)ethylamino] had IC50 of 3.3 + 10-8M against angiotensin I generation in vitro.

ACCESSION NUMBER: 1992:42060 HCAPLUS
DOCUMENT NUMBER: 116:42060
TITLE: Preparation of N1-(1-heteroaryl-1-hydroxyalkyl-2-yl)-N2-(3-alkoxycarbonyl-2-arylmethylpropionyl)- α -aminoalkanamides and analogs as renin inhibitors
INVENTOR(S): Albright, Jay Donald; Howell, Charles Frederick; Levin, Jeremy Ian; Sun, Fuk Wah; Reich, Marvin Fred
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: Eur. Pat. Appl., 106 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|-----------|-----------------|----------|
| EP 427939 | A2 | 19910522 | EP 1990-117977 | 19900919 |
| EP 427939 | A3 | 19911106 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| CA 2027125 | A1 | 19910412 | CA 1990-2027125 | 19901009 |
| JP 03178962 | A | 19910802 | JP 1990-272062 | 19901009 |
| AU 9064505 | A | 19910418 | AU 1990-64505 | 19901010 |
| US 5104869 | A | 19920414 | US 1990-605067 | 19901025 |
| PRIORITY APPLN. INFO.: | | | | |
| OTHER SOURCE(S): | MARPAT | 116:42060 | | |
| 138296-02-3P | | | | |
| RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| (preparation and reaction of, in preparation of renin inhibitors) | | | | |
| RN 138296-02-3 HCAPLUS | | | | |
| CN 2-Oxazolidinone, 4-(1-methylethyl)-3-[3-[1-[(4-methylphenyl)sulfonyl]-1H- | | | | |

L8 ANSWER 203 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 24 Jan 1992



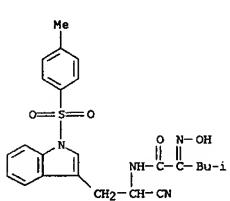
AB The title compds. [I; R = H, cyano, phenylalkoxy, CO2H, Ph, alkoxy, alkyl, alkoxycarbonyl, alkyl, alkoxyl, OH, halo; 1 = 1,2; R1 = H, alkyl, phenylalkyl, alkanoyl, CO2H, alkoxy, phenylalkoxycarbonyl, Q: A = CHO, CH, CO, alkylen; R2 = H, alkyl, OH, alkoxy; R3 = H, oxo, halo, alkoxy, alkoxyl, BzO, etc.; R4 = H, alkyl, Ph, phenylalkyl optionally substituted on Ph, cycloalkyl, cycloalkylalkyl, indolylalkyl, alkene; R5 = H, oxo, OH, phenylalkoxy, alkoxyl, alkyl; R6 = alkoxyl, oxo, H, OH, halo, alkyl, (alkanoyl)amino, alkylthio, cycloalkylalkyl, phenylalkoxy, etc.; Z = Q], also useful for treatment of superoxide (O2-) related diseases, e.g., autoimmune disease such as rheumatism, arteriosclerosis, heart or brain ischemia, liver or kidney failure, are prepared. Thus, peptide coupling of N-(tert-butoxycarbonyl)phenylglycine with H-MeTrp-O-Me in the presence of bis(2-oxo-3-oxazolidinyl)phosphinic chloride, Et3N, and N(CH2CH2O)3 in CH2Cl2 gave BOC-NHCPHCO-MeTrp-O-Me (BOC = CO2Me3) (II) which was oxidized with DDQ to the dehydro derivative of II and then stirred with HCO2H in the presence of a few drops of concentrated HCl to give (2)-6-[(indol-3-yl)methylene]-1-methyl-3-phenylpiperazine-2,5-dione (III). Approx. 160 I were prepared and 30 I in vitro inhibited the release of superoxide (O2-) from guinea pig macrophages of the peritoneal cavity with IC50 of 0.08-5.0 + 10-5 g/mL, whereas 25 I in vitro inhibited the (OHC-Met-Leu-Phe-OH/cytochalasin B)-stimulated release of lysosomal enzyme from rat's neutrophils with IC50 of 0.8-5.0 + 10-5 g/mL. Tablets containing III were prepared.

ACCESSION NUMBER: 1992:21073 HCAPLUS
DOCUMENT NUMBER: 116:21073
TITLE: Preparation of 3-(3-indolylmethyl)piperazine derivatives as superoxide radical inhibitors for prevention and treatment of nephritis
INVENTOR(S): Tone, Hitoshi; Sato, Seiji; Sato, Hideaki; Tamura, Katsumi; Tamada, Shigeharu
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 509 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| WO 9009380 | A1 | 19900823 | WO 1990-JP163 | 19900209 |
| W: KR, US | | | | |

L8 ANSWER 203 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE
 JP 03220188 A 19910927 JP 1990-14551 19900123
 JP 2523383 B2 19960807
 JP 03099078 A 19910424 JP 1990-21937 19900130
 JP 06043419 B 19940608
 JP 03184975 A 19910812 JP 1990-21936 19900130
 JP 06043418 B 19940608
 JP 03173883 A 19910729 JP 1990-31361 19900208
 EP 411150 A1 19910206 EP 1990-902836 19900209
 EP 411150 B1 19961127
 R: CH, DE, DK, ES, FR, GB, IT, LI, NL, SE
 ES 2097142 T3 19970401 ES 1990-902836 19900209
 CN 1049155 A 19910213 CN 1990-101286 19900310
 CN 1024797 B 19940601
 US 5238938 A 19930824 US 1992-857726 19920326
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 116:21073
 IT 131827-16-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as superoxide radical inhibitor drug)
 RN 131827-16-2 HCPLUS
 CN Pentanamide, N-[1-cyano-2-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]ethyl-2-(hydroxymino)-4-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 204 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

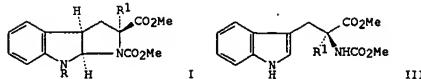
Me
 O=S(=O)c1ccc(cc1)-c2ccccc2N(c3ccccc3)C(=O)C(C(=O)OC)C(C=CH2)C(=O)OC
 RN 136057-15-3 HCPLUS
 CN D-Tryptophan, N-(methoxycarbonyl)- α -methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 127628-17-5P 127628-18-6P 127628-19-7P
 136057-13-1P 136057-14-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 127628-17-5 HCPLUS
 CN L-Tryptophan, N-(methoxycarbonyl)- α -methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

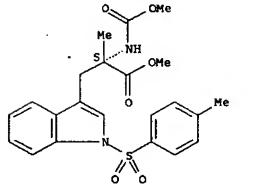
L8 ANSWER 204 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 05 Oct 1991
 GI



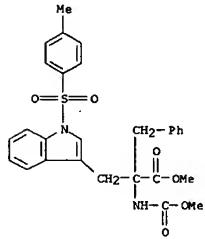
AB MeO2C-L-Trp-OMe is cyclized with 85% phosphoric acid to give hexahydropyrrrole[2,3-b]indole I (R = R1 = H), which on reaction with p-toluenesulfonyl chloride (TsCl) gives I (R = Ts, R1 = H) (II). II undergoes deprotonation with LDA to the corresponding enolate which is quenched with a variety of alkylating agents resulting in alkylation, with retention of configuration, at C-2 to give I (R = Ts, R1 = CH2CH:CH2, Me, CH2Ph, CH2CH2SMe, CH2CO2Me, CH2CH2SiMe3). Subsequent treatment with CF3CO2H brings about cycloreversion affording essentially optically pure α -alkylated tryptophan衍生物 III. The same process was also applied in the R series.

ACCESSION NUMBER: 1991:536704 HCPLUS
 DOCUMENT NUMBER: 115:136704
 TITLE: Enantiospecific synthesis with amino acids. Part 1. Tryptophan as a chiron for the synthesis of α -substituted tryptophan derivatives
 AUTHOR(S): Bourne, Gregory T.; Crich, David; Davies, John W.; Horwell, David C.
 CORPORATE SOURCE: Parke Davis Res. Unit, Addenbrookes Hosp. Site, Cambridge, CB2 2QB, UK
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1991), (7), 1693-9
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 115:136704
 IT 127628-20-0P 136057-15-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reductive desylation of)
 RN 127628-20-0 HCPLUS
 CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

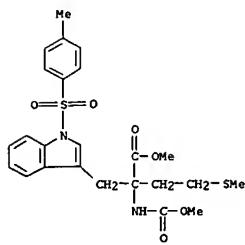
L8 ANSWER 204 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 127628-18-6 HCPLUS
 CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

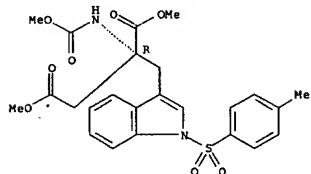


IT 127628-17-5P 127628-18-6P 127628-19-7P
 136057-13-1P 136057-14-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 127628-17-5 HCPLUS
 CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -(2-(methylthio)ethyl)-, methyl ester (9CI) (CA INDEX NAME)



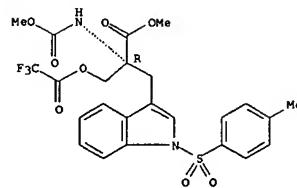
RN 136057-13-1 HCPLUS
 CN D-Aspartic acid, N-(methoxycarbonyl)-2-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 136057-14-2 HCPLUS
 CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -[(trifluoroacetyl oxy)methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

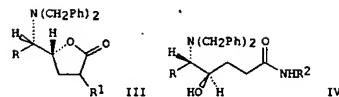
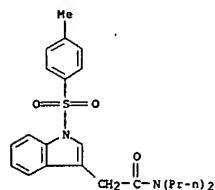


* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of polycyclic indoles, e.g., I (X = O, CH₂), II, III, is shown to be accomplished readily by the palladium catalyzed intramolecular cyclization of bromoaryliindoles, e.g., IV, V, VI.

ACCESSION NUMBER: 1991:535868 HCPLUS
 DOCUMENT NUMBER: 115:135868
 TITLE: Palladium catalyzed synthesis of annelated indoles
 AUTHOR(S): Kozikowski, Alan P.; Ma, Dawei
 CORPORATE SOURCE: Mayo Clin., Jacksonville, FL, 32224, USA
 SOURCE: Tetrahedron Letters (1991), 32(28), 3317-20
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 115:135868
 IT 135967-01-0
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (attempted reaction of, with bromotosylindole)

RN 135967-01-0 HCPLUS
 CN 1H-Indole-3-acetamide, 1-[(4-methylphenyl)sulfonyl]-N,N-dipropyl- (9CI)
 (CA INDEX NAME)

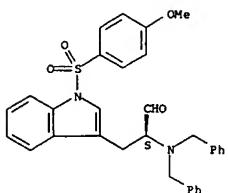


AB The reaction of (S)- α -dibenzylamino aldehydes (S)-(PhCH₂)₂NCHRCHO (I; R = Me, CH₂CH₂Ph, CH₂Ph) with dichloroisopropoxytitanium ester homoenolates Me₂CHOTiCl₂CH₂CHR₁COR₂ (II; R₁ = H, (S)-Me, (R)-Me; R₂ = OMe) gave the corresponding γ -aminoalkyl γ -lactones III with high erythro selectivity. The same reaction of I (R = Me, CH₂CH₂Ph) with amide homoenolates, II [R₁ = H; R₂ = NHCH₂Ph, (S)- and (R)-NHCH₂Me] also afforded the corresponding 2-amino alcs. IV with high erythro selectivity.

ACCESSION NUMBER: 1991:207746 HCPLUS
 DOCUMENT NUMBER: 114:207746
 TITLE: Stereocontrolled convergent synthesis of hydroxyethylene dipeptide isosteres by the reaction of α -amino aldehydes with alkoxytitanium homoenolates
 AUTHOR(S): Kano, Shinzo; Yokomatsu, Tsutomu; Shibuya, Shiroshi
 CORPORATE SOURCE: Tokyo Coll. Pharm., Tokyo, 192-03, Japan
 SOURCE: Tetrahedron Letters (1991), 32(2), 233-6
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 114:207746
 IT 133149-46-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (condensation and cyclocondensation reactions of, with alkoxytitanium homoenolates, stereochem. of)

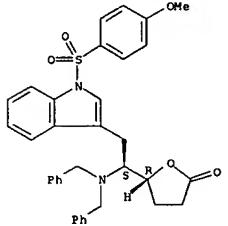
RN 133149-46-6 HCPLUS
 CN 1H-Indole-3-propanol, α -[bis(phenylmethyl)amino]-1-[(4-methoxyphenyl)sulfonyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



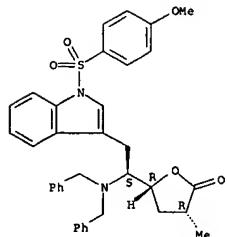
IT 133149-69-2P 133149-69-3P 133149-70-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and ring opening of, with butylamine)
 RN 133149-69-2 HCPLUS
 CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)- α -(tetrahydro-4-methyl-5-oxo-2-furanyl)-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



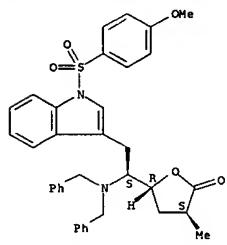
RN 133149-69-3 HCPLUS
 CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)- α -(tetrahydro-4-methyl-5-oxo-2-furanyl)-, [2R-[2a(S*),4a]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



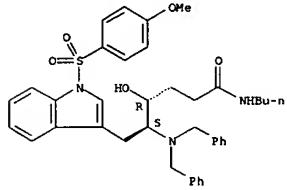
RN 133149-70-6 HCPLUS
 CN 1H-Indole-3-ethanamine, 1-[(4-methoxyphenyl)sulfonyl]-N,N-bis(phenylmethyl)- α -(tetrahydro-4-methyl-5-oxo-2-furanyl)-, [2R-[2a(S*),4b]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

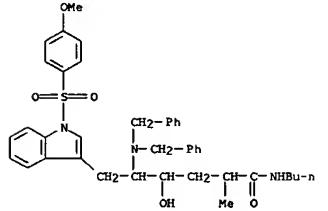


IT 133149-71-7P 133149-72-8P 133268-13-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 133149-71-7 HCPLUS
 CN 1H-Indole-3-hexanamide, 5-[bis(phenylmethyl)amino]-N-butyl- γ -hydroxy-1-[(4-methoxyphenyl)sulfonyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

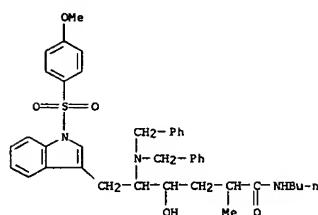
Absolute stereochemistry.



RN 133149-72-8 HCPLUS
 CN 1H-Indole-3-hexanamide, 5-[bis(phenylmethyl)amino]-N-butyl- γ -hydroxy-1-[(4-methoxyphenyl)sulfonyl]- α -methyl-, [aR-(aR*,yR*,yS*)]- (9CI) (CA INDEX NAME)



RN 133268-13-0 HCPLUS
 CN 1H-Indole-3-hexanamide, 5-[bis(phenylmethyl)amino]-N-butyl- γ -hydroxy-1-[(4-methoxyphenyl)sulfonyl]- α -methyl-, [aS-(aR*,yS*,yR*)]- (9CI) (CA INDEX NAME)



10518612 and 10519219

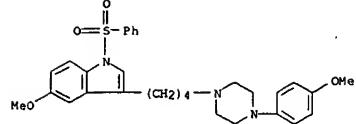
L8 ANSWER 207 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 31 May 1991
 AB The title compound (I) and its physiol. unobjectionable salts, having serotonin-agonist and -antagonist properties, were prepared as psychotropic and antihypertensive agents (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole was condensed with 1-(p-methoxyphenyl)piperazine to give I as, e.g., its hydrochloride salt.
 ACCESSION NUMBER: 1991:207288 HCAPLUS
 DOCUMENT NUMBER: 114:207288
 TITLE: Preparation and formulation of 3-[4-[4-(p-methoxyphenyl)piperazinolbutyl]-5-methoxyindole and salts thereof as psychotropic and antihypertensive agents
 INVENTOR(S): Boettcher, Henning; Seyfried, Christoph; Greiner, Hirtmut
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: Eur. Pat. Appl., 9 pp.
 CODEN: EPXX0W
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| EP 407844 | A1 | 19910116 | EP 1990-112539 | 19900630 |
| EP 407844 | B1 | 19940406 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| DE 3923045 | A1 | 19910117 | DE 1989-3923045 | 19890713 |
| AT 103894 | T | 19940415 | AT 1990-112539 | 19900630 |
| ES 2062202 | T3 | 19941216 | ES 1990-112539 | 19900630 |
| CA 2020936 | A1 | 19910114 | CA 1990-2020936 | 19900711 |
| AU 9058951 | A | 19910117 | AU 1990-58951 | 19900712 |
| AU 622340 | B2 | 19920402 | | |
| JP 03052859 | A | 19910307 | JP 1990-182888 | 19900712 |
| HU 55382 | A2 | 19910528 | HU 1990-4184 | 19900712 |
| HU 206340 | B | 19921028 | | |
| US 5106850 | A | 19920421 | US 1990-551816 | 19900712 |
| ZA 9005524 | A | 19910529 | ZA 1990-5524 | 19900713 |

PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 114:207288
 IT 133735-42-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of psychotropic and antihypertensive agent)
 RN 133735-42-9 HCAPLUS
 CN 1H-Indole, 5-methoxy-3-[4-[4-(4-methoxyphenyl)-1-piperazinyl]butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 207 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

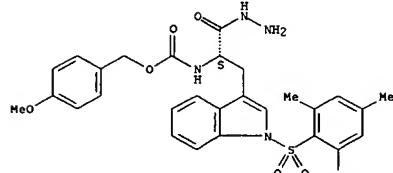


L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 17 May 1991
 AB Hepatospecific insulin analogs, e.g. sheep [Trp14-A] insulin (I), suitable for i.m., s.c., and i.v. administration and administration by implantable pump and nasal spray in treatment of diabetes, are prepared. These insulin analogs contain substitutions for one or more amino acids in the A and B chains and specifically, tryptophan or other bulky, hydrophobic amino acid residues are substituted at the A13, A14, A15, A19, and B16 positions of the insulin peptides. I, prepared by the solution method, inhibited gluconeogenesis in vitro in a hepatoma FAO cell line by approx. 90% relative to the natural hormone and inhibited the specific binding of ¹²⁵I-insulin to insulin receptors in plasma membranes with a potency of approx. 60% of that of the natural hormone.
 ACCESSION NUMBER: 1991:186084 HCAPLUS
 DOCUMENT NUMBER: 114:186084
 TITLE: Hepatospecific insulin analogs
 INVENTOR(S): Katsoyannis, Panayotis G.
 PATENT ASSIGNEE(S): Mount Sinai School of Medicine, USA
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXK02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9012814 | A1 | 19901101 | WO 1990-US2070 | 19900417 |
| W: AU, FI, HU, JP, NO | | | | |
| RU: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |
| AU 9055415 | A | 19901116 | AU 1990-55415 | 19900417 |
| AU 631868 | B2 | 19921210 | | |
| EP 469084 | A1 | 19920205 | EP 1990-908000 | 19900417 |
| EP 469084 | B1 | 19950405 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE | | | | |
| HU 59941 | A2 | 19920728 | HU 1990-3398 | 19900417 |
| HU 210142 | B | 19950228 | | |
| JP 04504958 | T | 19920827 | JP 1990-506816 | 19900417 |
| AT 120762 | T | 19950415 | AT 1990-908000 | 19900417 |
| CA 2014896 | A1 | 19901020 | CA 1990-2014896 | 19900419 |
| ZA 9002965 | A | 19910227 | ZA 1990-2965 | 19900419 |
| IL 94163 | A | 19950831 | IL 1990-94163 | 19900422 |
| IL 111437 | A | 19950831 | IL 1990-111437 | 19900422 |
| NO 9104085 | A | 19911128 | NO 1991-4085 | 19911017 |
| NO 301544 | B1 | 19971110 | | |
| US 5208217 | A | 19930504 | US 1991-785146 | 19911029 |
| PRIORITY APPLN. INFO.: | | | US 1989-340929 | A 19890420 |
| | | | WO 1990-US2070 | A 19900417 |
| | | | IL 1990-94163 | A3 19900422 |

IT 92916-46-6
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, in preparation of insulin analog)
 RN 92916-46-6 HCAPLUS
 CN L-Tryptophan, N-[(4-methoxyphenyl)methoxy]carbonyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-, hydrazide (9CI) (CA INDEX NAME)

L8 ANSWER 208 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

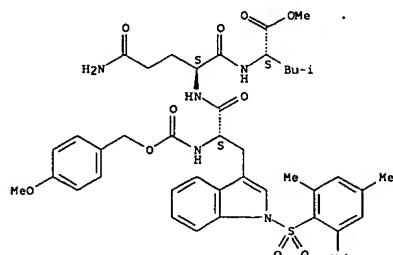


IT 133210-12-5P 133210-13-6P 133210-14-7P
 133210-15-8P 133210-18-1P 133210-19-2P
 133210-20-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for insulin analog)

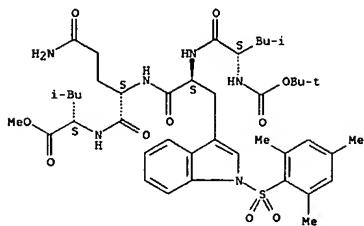
RN 133210-12-5 HCAPLUS
 L-Leucine, N-[N2-(N-[(4-methoxyphenyl)methoxy]carbonyl)-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



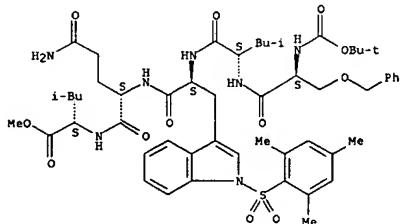
RN 133210-13-6 HCAPLUS
 L-Leucine, N-[N2-(N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl)-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



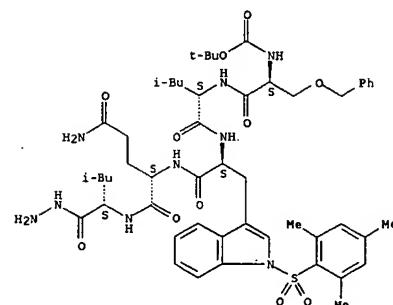
RN 133210-14-7 HCAPLUS
CN L-Leucine, N-[N2-[N-(N-[N-(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 133210-15-8 HCPLUS
CN L-Leucine, N-[2-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutamylamino]-hydrazide (9CI) (CA INDEX NAME)

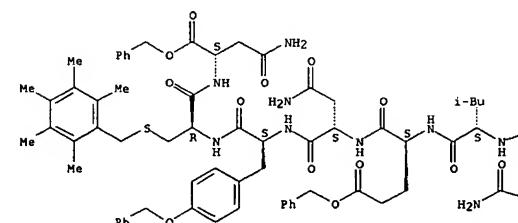
Absolute stereochemistry.



RN 133210-18-1 HCAPLUS
 CN L-Asparagine, N2-[N-[N2-[N-[N-[N2-[N-[N-[(1,1-dimethyllethoxy) carbonyl]-O-(phenylmethyl)-L-seryl]-L-leucyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl]-L-glutaminyl]-L-leucyl]-L- α -glutamyl-L-Asparaginyl]-O-(phenylmethyl)-L-tyrosyl]-S-[(pentamethylphenyl)methyl]-L-cysteinyl]-, bis(phenylmethyl) ester (9CI) [CA INDEX NAME]

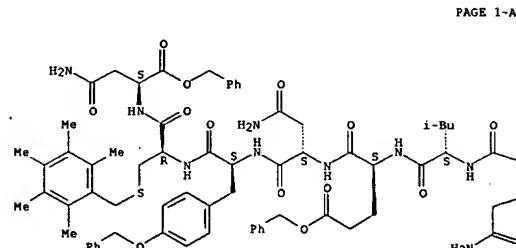
Absolute stereochemistry

PAGE 1-A

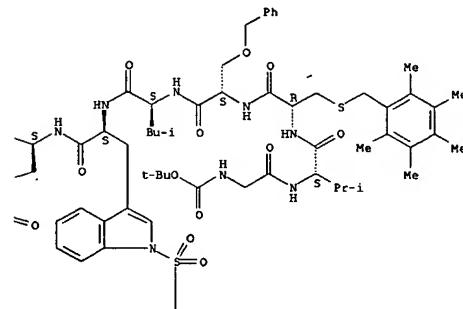


RN 133210-19-2 HCPLUS
 CN L-Asparagine, N-[(1,1-dimethylethoxy)carbonyl]glycyl-L-valyl-S-
 [(pentamethylphenyl)methyl]-L-cysteinyl-O-(phenylmethyl)-L-seryl-L-leucyl-
 L-[2,(4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-L-glutaminyl-L-leucyl-L-
 a-glutamyl-L-asparaginyl-O-(phenylmethyl)-L-tyrosyl-S-
 [(pentamethylphenyl)methyl]-L-cysteinyl-, bis(phenylmethyl) ester (9CI)
 (CA INDEX NAME)

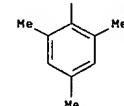
Absolute stereochemistry.



PAGE 1-B

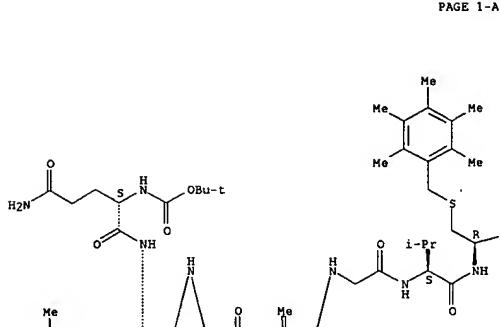


PAGE 2-B

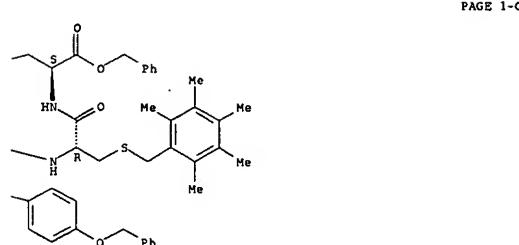
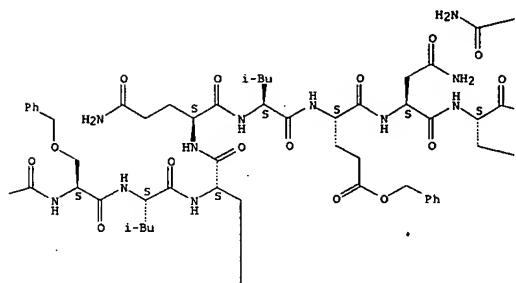


RN 133210-20-5 HCAPLUS
 CN L-Asparagine, N₂-(2,6-dimethylphenyl)carbonyl-L-glutamyl-L-S-
 (pentamethylphenyl)methyl-L-cysteinyl-5-[(pentamethylphenyl)methyl]-L-
 cysteinyl-L-alanyl-L-leucyl-L-valyl-5-[(pentamethylphenyl)methyl]-L-cysteinyl-
 O-(phenylmethyl)-L-seryl-L-leucyl-L-1-[2,4,6-trimethylphenyl]sulfonyl]-L-
 tryptophyl-L-glutamyl-L-leucyl-L-a-glutamyl-L-aspaginyl-O-(phenylmethyl)-
 L-tyrosyl-S-[(pentamethylphenyl)methyl]-L-cysteinyl-L-
 bis(phenylmethyl) ester [9CI]. (CA INDEX NAMP).

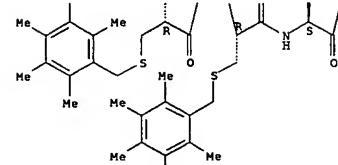
Absolute stereochemistry



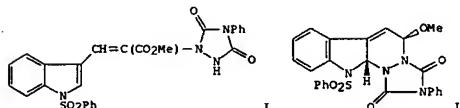
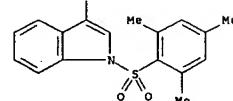
PAGE 1-B



PAGE 2-A

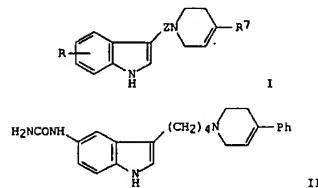
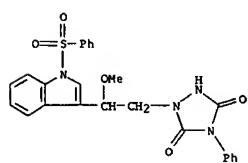


PAGE 2-B



AB The reactions of 3-vinylindoles and a 2-vinylindole with 4-phenyl-1,2,4-triazoline-3,5-dione were investigated. Depending on the structure of the vinylindole, the exptl. results revealed the occurrence in some cases of a nonconcerted step to furnish Michael-type adducts, e.g., I, and in other cases, of a probably concerted Diels-Alder reaction, to furnish novel pyridazino[4,5-*b*]indoles, e.g., II. The x-ray crystal structure of II is also reported.

ACCESSION NUMBER: 1991:122230 HCPLUS
DOCUMENT NUMBER: 114:122230
TITLE: New reactions of vinylindoles as heterocyclic dienes with 4-phenyl-1,2,4-triazoline-3,5-dione: non-concerted versus concerted processes
AUTHOR(S): Pindur, Ulf; Kim, Myung Hwa
CORPORATE SOURCE: Dep. Chem. Pharm., Univ. Mainz, Mainz, D-6500/1, Germany
SOURCE: Chimia (1990), 44(10), 339-41
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:122230
IT 132509-46-7P
RN 132509-46-7 HCPLUS
CN 1H-Indole, 3-[2-(3,5-dioxo-4-phenyl-1,2,4-triazolidin-1-yl)-1-methoxyethyl]-1-(phenylsulfonyl) (9CI) (CA INDEX NAME)



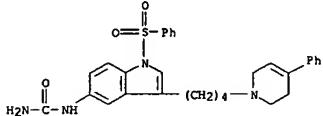
AB The title compds. [I; R = OCH₂CO₂R₁, NHR₂, NO₂, CONR₃R₄, CSNH₂; R₁ = OH, NH₂, alkoxy, (di)alkylamino, etc.; R₂ = H, alkanoyl, acroyl, CONH₂, etc.; R₃ = H, (hydroxy)alkyl; R₄ = O-(un)substituted hydroxylalkyl, dialkylamino, (un)substituted Ph, etc.; NR₃R₄ = heterocyclyl; R₇ = 2- or 3-thienyl, (un)substituted Ph; Z = (CH₂)₂₋₅, CH₂SO_nCH₂CH₂; n = 0-2] were prepared as nervous system agents (no data). Thus, 3-(4-chlorobutyl)-5-indolylurea [preparation starting from 5-nitroindole and Cl(CH₂)₃COCl described] was stirred 12 h with 4-phenyl-1,2,4-triazoline-3,5-dione in MeCN to give title compound II. Pharmaceutical formulations comprising I are given.

ACCESSION NUMBER: 1991:101745 HCPLUS
DOCUMENT NUMBER: 114:101745
TITLE: Preparation and formulation of 3-[(4-aryl-1,2,4-tetrahydropyridido)alkyl]indoles and analogs as nervous system agents
INVENTOR(S): Boettcher, Henning; Juraszky, Horst; Hausberg, Hans Heinrich; Greiner, Hartmut; Seyfried, Christoph; Minck, Klaus Otto; Bergmann, Rolf
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 15 pp.
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

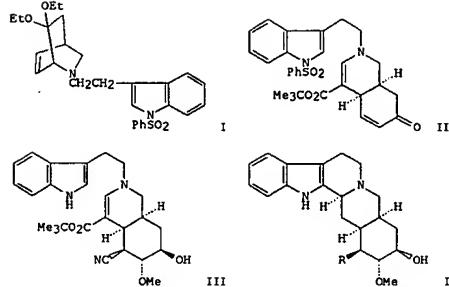
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| DE 3907974 | A1 | 19900913 | DE 1989-3907974 | 19890311 |
| EP 387603 | A1 | 19900919 | EP 1990-103842 | 19900228 |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE JP 02273672 | A | 19901108 | JP 1990-49703 | 19900302 |
| AU 9051162 | A | 19900913 | AU 1990-51162 | 19900308 |
| AU 622291 | B2 | 19920402 | | |
| CA 2011834 | A1 | 19900911 | CA 1990-2011834 | 19900309 |
| ZA 9001857 | A | 19901228 | ZA 1990-1857 | 19900309 |
| HU 56088 | A2 | 19910729 | HU 1990-1382 | 19900309 |
| HU 206207 | B | 19920928 | | |
| PRIORITY APPLN. INFO.: | | | DE 1989-3907974 | A 19890311 |

• 10518612 and 10519219

L8 ANSWER 210 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 OTHER SOURCE(S): MARPAT 114:101745
 IT 132285-22-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of nervous system agent)
 RN 132285-22-4 HCAPLUS
 CN 1H-Indol-5-amine, N-(aminocarbonyl)-3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 ED Entered STN: 09 Nov 1990
 GI



AB Key elements in the title synthesis include the construction of the intermediate N-tryptophylisoquinuclidine 7-ketal I and its transformation with HC.tpbond.CC(=O)Me₃ to the N-tryptophylhydroisoquinoline II, stereocontrolled introduction of the E-ring C-16 ester, C-17 methoxyl, and C-18 benzoate functionality, and Wenkert cyclization of the N-tryptophyltetrahydronicotinate III to produce the yohimbane IV (R = cyano). A formal total synthesis of deserpidine is then accomplished by preparation of the advanced intermediate IV (R = CO₂Me). The crystal structure

of IV (R = cyano) is reported.

ACCESSION NUMBER: 1990-572404 HCAPLUS

DOCUMENT NUMBER: 113:172404

TITLE: Formal total synthesis of deserpidine demonstrating a versatile amino-Claisen rearrangement/Wenkert cyclization strategy for the preparation of functionalized yohimbane ring systems

AUTHOR(S): Baxter, Ellen W.; Labaree, David; Ammon, Herman L.; Mariano, Patrick S.

CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Maryland, College Park, MD, 20742, USA

SOURCE: Journal of the American Chemical Society (1990), 112(21), 7682-92

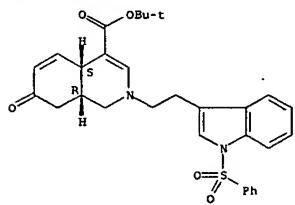
DOCUMENT TYPE: CODEN: JACSAT; ISSN: 0002-7863

LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:172404

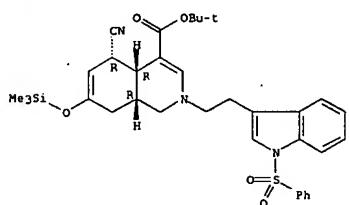
L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 IT 129265-18-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of)
 RN 129265-18-5 HCAPLUS
 CN 4-Isouquinolinecarboxylic acid, 1,2,4a,7,8a-hexahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



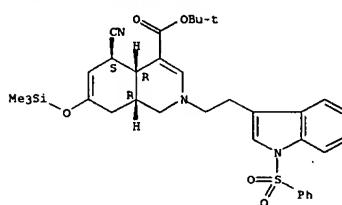
IT 129265-21-0P 129265-22-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydroboration of)
 RN 129265-21-0 HCAPLUS
 CN 4-Isouquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aa,5a,8aa)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



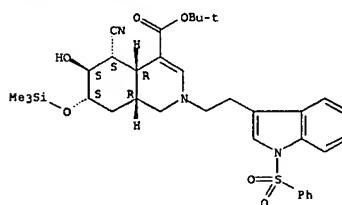
IT 129265-22-1 HCAPLUS
 CN 4-Isouquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-hexahydro-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aa,5a,8aa)- (9CI) (CA INDEX NAME)

L8 ANSWER 211 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 Relative stereochemistry.

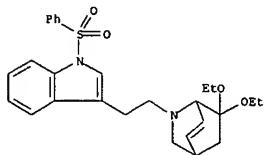


IT 129265-23-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and methylation of)
 RN 129265-23-2 HCAPLUS
 CN 4-Isouquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-6-hydroxy-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aa,5a,6a,7a,8aa)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 129265-16-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, with propiolate)
 RN 129265-16-3 HCAPLUS
 CN 1H-Indole, 3-[2-(7,7-dioxy-2-azabicyclo[2.2.2]oct-5-en-2-yl)ethyl]-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



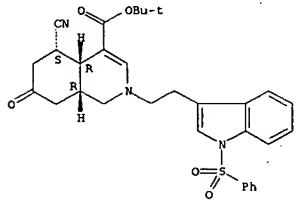
IT 129265-19-6P 129265-20-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 129265-19-6 HCPLUS

CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, (4aa,5b,8aa)- (9CI) (CA INDEX NAME)

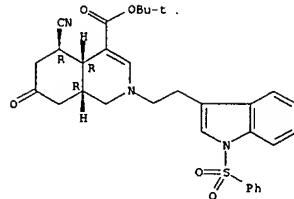
Relative stereochemistry.



RN 129265-20-9 HCPLUS

CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-7-oxo-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester, (4aa,5a,8aa)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



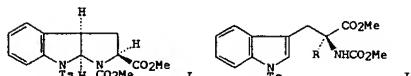
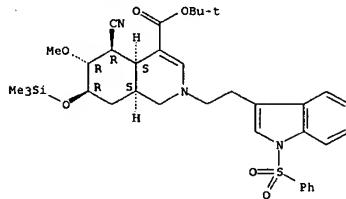
IT 129265-24-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation, desulfonylation, and desilylation of)

RN 129265-24-3 HCPLUS

CN 4-Isoquinolinecarboxylic acid, 5-cyano-1,2,4a,5,6,7,8,8a-octahydro-6-methoxy-2-[2-[1-(phenylsulfonyl)-1H-indol-3-yl]ethyl]-7-[(trimethylsilyl)oxy]-, 1,1-dimethylethyl ester, (4aa,5b,6a,7b,8aa)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

AB L-Tryptophan has been converted, by alkylation of hexahydro[2,3-b]pyrrolindole I (Ts = 4-MeC6H4SO2) followed by ring opening, to α -alkylated tryptophan derivs. II (R = Me, CH2Ph, CH2CO2Et, CH2OH) with overall retention of configuration.

ACCESSION NUMBER: 1990:24453 HCPLUS

DOCUMENT NUMBER: 113:24453

TITLE: Asymmetric synthesis of α -alkylated tryptophan derivates

AUTHOR(S): Edrich, David; Davies, John W.

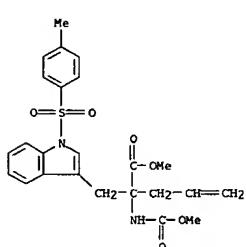
CORPORATE SOURCE: Dep. Chem., Univ. Coll. London, London, WC1H 0AJ, UK
Journal of the Chemical Society, Chemical Communications (1990), (09), 1418-19

SOURCE: CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:24453

IT 127628-20-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reductive desylation of)

RN 127628-20-0 HCPLUS

CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

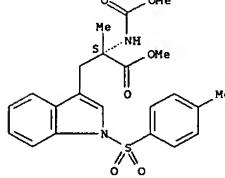
IT 127628-17-5P 127628-18-6P 127628-19-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

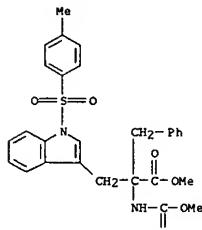
RN 127628-17-5 HCPLUS

CN L-Tryptophan, N-(methoxycarbonyl)- α -methyl-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

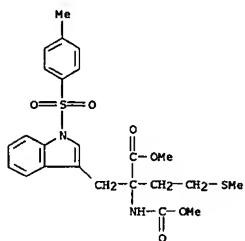


RN 127628-18-6 HCPLUS

CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

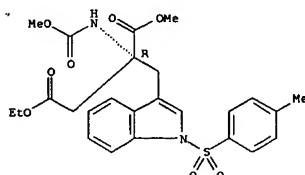
RN 127628-19-7 HCPLUS

CN L-Tryptophan, N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]- α -(2-(methylthio)ethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 127628-21-1 HCAPLUS
 CN D-Aspartic acid, N-(methoxycarbonyl)-2-[(1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl)methyl]-, 4-ethyl 1-methyl ester (9CI) (CA INDEX NAME)

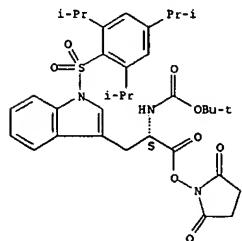
Absolute stereochemistry.



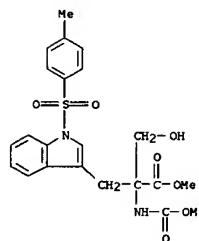
RN 127628-22-2 HCAPLUS
 CN L-Tryptophan, α -(hydroxymethyl)-N-(methoxycarbonyl)-1-[(4-methylphenyl)sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 23 Jun 1990
 AB Two enkephalin-containing peptides, peptide E and dynorphin (1-24), were synthesized by conventional solution methods employing a new tryptophan derivative, Nin-(2,4,6-triisopropylphenylsulfonyl)tryptophan (H-Trypt(Tps)-OH). All protecting groups employed, including the Tps group, were removed by treatment with 1 M CF3SO3H-PbMe in CF3CO2H at the final steps of these syntheses. Subsequent purifications by Sephadex G-25 chromatog., CM-Bio gel A ion exchange chromatog., and reversed-phase HPLC afforded highly purified samples. Both synthetic peptide E and dynorphin (1-24) exhibited high in vitro opioid activity. The usefulness of this new tryptophan derivative for practical peptide synthesis was established through these syntheses of complex tryptophan-containing peptides.
 ACCESSION NUMBER: 1990:235818 HCAPLUS
 DOCUMENT NUMBER: 112:235818
 TITLE: Solution syntheses of two enkephalin-containing peptides, peptide E and dynorphin(1-24), using Nin-(2,4,6-triisopropylphenylsulfonyl)tryptophan
 AUTHOR(S): Kitagawa, Kouki; Kawamoto, Tatsuhiko; Futaki, Shiroh; Kiyama, Shinya; Akita, Tadashi; Moritoki, Hideki; Kiso, Yoshiaki
 CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1989), 37(10), 2631-8
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 112:235818
 IT 127272-93-9
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, with decapeptide ester)
 RN 127272-93-9 HCAPLUS
 CN Carbamic acid, 2-[(2,5-dioxo-1-pyrolidinyl)oxy]-2-oxo-1-[(1-[(2,4,6-triisopropylphenyl)sulfonyl]-1H-indol-3-yl)methyl]ethyl-, 1,1-dimethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

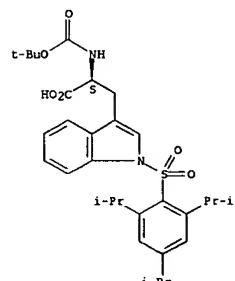


IT 127272-90-6



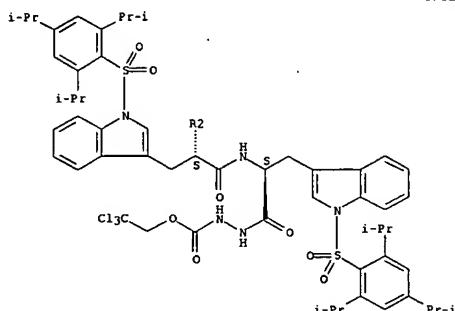
L8 ANSWER 213 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, with tryptophan hydrazide or hydrazine deriv.)
 RN 127272-90-6 HCAPLUS
 CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-tris(1-methylethyl)phenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

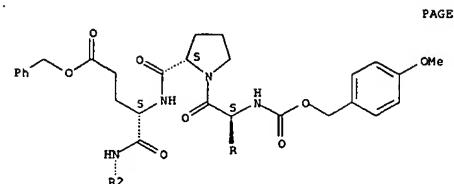


IT 127272-80-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and deblocking of, with zinc)
 RN 127272-80-4 HCAPLUS
 CN L-Tryptophan, N-[N-[N-[(1-[(2,4,6-triisopropylphenyl)sulfonyl]amino)methyl]-N2-[(4-methoxyphenyl)methoxy]carbonyl]-L-ornithyl]-L-prolyl]-L- α -glutamyl]-1-[(2,4,6-tris(1-methylethyl)phenyl)sulfonyl]-L-tryptophyl]-1-[(2,4,6-tris(1-methylethyl)phenyl)sulfonyl]-S-(phenylmethyl) ester, 1-[2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



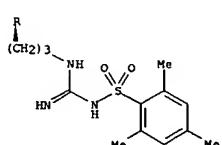
PAGE 1-A



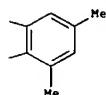
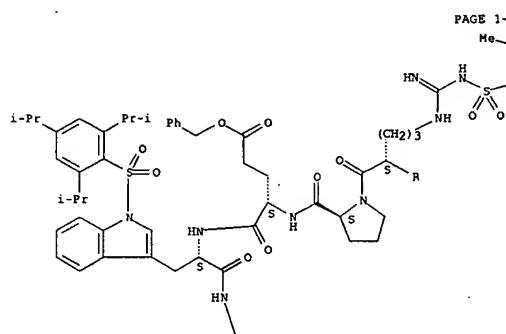
PAGE 3-A

IT 127272-91-7P
 RL: SPP (Synthetic preparation); PREP (Preparation)
 (preparation and sequential azide formation and peptide coupling of, with
 pentapeptide E fragment)
 RN 127272-91-7 HCPLUS
 CN L-Tryptophan, N-[N-[1-[N-[5-(imino[[2,4,6-trimethylphenyl]sulfonyl]amino
]methyl]-N2-[[4-(methoxyphenyl)methoxy carbonyl]-L-ornithyl]-L-prolyl]-L-
 ->glutamyl]-1-[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-L-
 tryptophyl]-1-[2,4,6-tris(1-methylethyl)phenyl]sulfonyl]-
 5-(phenylmethyl) ester, 1-hydrazide (9CI) (CA INDEX NAME)

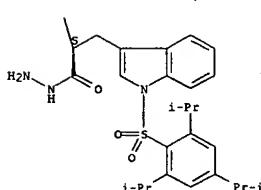
Absolute stereochemistry.



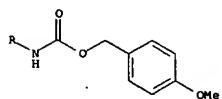
PAGE 2-A



PAGE 1-B

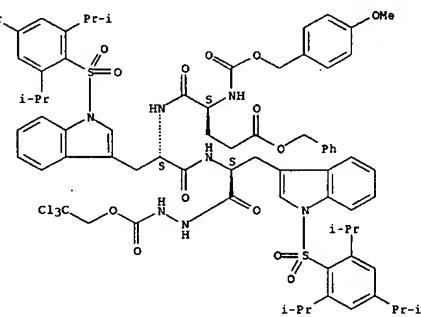


PAGE 2-A



IT 127272-79-1
 RL: SGN (Synthetic preparation); PREP (Preparation)
 (preparation and sequential deblocking and peptide coupling of, with
 dipeptide active ester)
 RN 127272-79-1 CAPLUS
 CN L-Tryptophan, N-[N-[1-[(4-methoxyphenyl)methoxy]carbonyl]-L- α -
 glutamyl]-1-[[2,4,6-tris (1-methylethyl)phenyl]sulfonyl]-L-tryptophyl]-1-
 [[2,4,6-tris (1-methylethyl)phenyl]sulfonyl]-5-(phenylmethyl) ester,
 1-[2-[[2,2,2-trichloroethoxy]carbonyl]hydrazide] (9CI) (CA INDEX NAME)

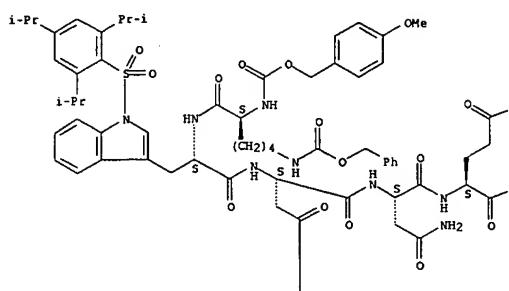
Absolute stereochemistry.



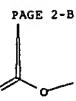
IT 127272-94-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and sequential deblocking and peptide coupling of, with
 synorphin fragment)
 RN 127272-94-0 HCAPLUS
 CN L-Leucine, N-[N-[N-[N-[O-[(2,6-dichlorophenyl)methyl]-N-[N5-[imino[[((2,4,6-trimethylphenyl)sulfonyl)amino)methyl]-N2-[N2-[N2-[N-[N-[N2-[[4-methoxyphenyl]methoxy]carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-1-[(2,4,6-tris(1-methylphenyl)phenyl)sulfonyl]-L-tryptophyl]-L-aspartyl]-L-asparaginyl]-L-glutaminyl-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-ornithyl]-L-tyrosyl]-glycyl]-glycyl]-L-phenylalanyl]-, 4-cycloheptyl 1-(phenylmethoxy)ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

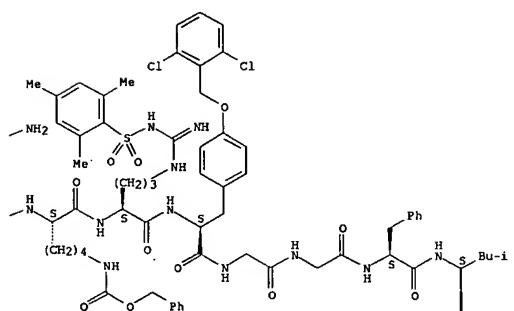


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PAGE 2-C

PAGE 1-B



Ph

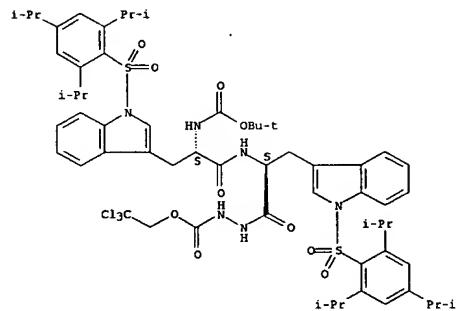
IT 127272-78-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with
glutamic acid mixed anhydride)

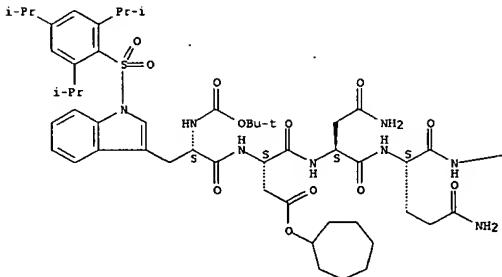
RN 127272-78-0 HCAPLUS

CN L-Tryptophan, N-[N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-tris(1-
methylethyl)phenyl)sulfonyl]-L-tryptophyl]-1-[(2,4,6-tris(1-
methylethyl)phenyl)sulfonyl]-, 2-[(2,2,2-trichloroethoxy)carbonyl]hydrazid
e (9CI) (CA INDEX NAME)

Absolute stereochemistry.



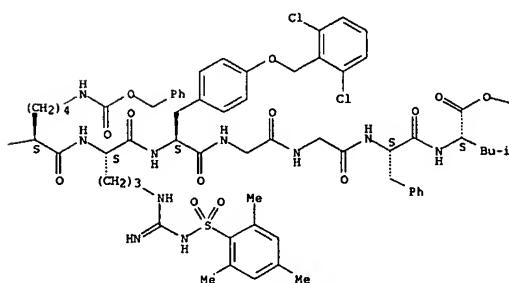
PAGE 1-A



IT 127272-86-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with
lysine mixed anhydride)
RN 127272-86-0 HCAPLUS
CN L-Leucine, N-[N-[N-[O-[(2,6-dichlorophenyl)methyl]-N-[2-[N2-[N2-[N2-[N-
[N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-tris(1-
methylethyl)phenyl)sulfonyl]-L-tryptophyl]-L-a-aspartyl]-L-
asparaginyl]-L-glutaminyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl]-L-
[imino[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl]-L-
tyrosyl]glycylglycyl-L-phenylalanyl]-, 4-cycloheptyl 1-(phenylmethyl)
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B



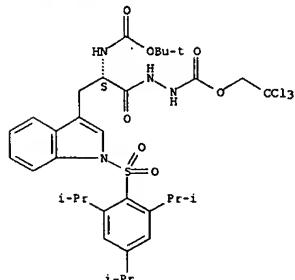


Ph

IT 127272-77-9
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sequential deblocking and peptide coupling of, with
tryptophan mixed anhydride)

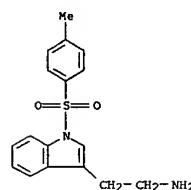
RN 127272-77-9 HCPLUS
CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-tris(1-methylethyl)phenyl)sulfonyl]-, 2-[(2,2,2-trichloroethoxy)carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

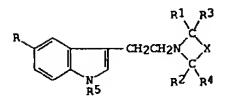
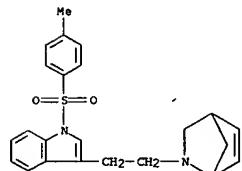


AB The unmasking of primary amines via the heterocycloreversion of N-alkyl-2-azanorbornenes I (e.g., RN = homoveratrylamine or phenylalanylleucine H ester residue) can be catalyzed by either copper(II) or a sulfonic acid-based ion exchange resin which obviates the necessity of employing a reactive dienophile to trap the cyclopentadiene is it is produced.

ACCESSION NUMBER: 1990:215761 HCPLUS
DOCUMENT NUMBER: 112:215761
TITLE: Retro aza Diels-Alder reactions of 2-azanorbornenes: improved methods for the unmasking of primary amines
AUTHOR(S): Grieco, Paul A.; Clark, Jerry D.
CORPORATE SOURCE: Dep. Chem., Indiana Univ., Bloomington, IN, 47405, USA
SOURCE: Journal of Organic Chemistry (1990), 55(8), 2271-2
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:215761
IT 88115-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88115-32-6 HCPLUS
CN 1H-Indole-3-ethanamine, 1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT 126424-20-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(retro aza Diels-Alder reaction of, catalysts for)
RN 126424-20-2 HCPLUS
CN 1H-Indole, 3-[2-(2-azabicyclo[2.2.1]hept-5-en-2-yl)ethyl]-1-[(4-



AB The title compds. [I; R = H, lower alkyl, lower alkoxy, Ph(lower alkyl), Ph(lower alkoxyl), OH, amino(lower alkyl), F, Cl, Br, cyano, H2NCO, azido; R1, R2 = lower alkyl; R3, R4 = H, lower alkyl; R5 = H, R6CO, R6SO2; R6 = amino, lower alkoxy, Ph, (lower alkyl) Ph; X = (CH2)n; n = 2,3] or their pharmaceutically acceptable salts, useful for treatment of sleep disturbances, migraine, vasospasms, and ischemias (no data), were prepared by acylation of indoles with (COCl)2, amidation of the intermediate indolyl glyoxyl chlorides with pyrrolidine- or piperidine derivs., and reduction of the resulting α -dioxo intermediates with LiAlH4.

ACCESSION NUMBER: 1990:198126 HCPLUS
DOCUMENT NUMBER: 112:198126
TITLE: Preparation of 3-[2-(pyrrolidino)ethyl]- and 3-[2-(piperidino)ethyl]indoles as selective 5-hydroxytryptamine antagonists
INVENTOR(S): Glaser, Thomas; Raddatz, Siegfried; Traber, Joerg; Allen, George
PATENT ASSIGNEE(S): Bayer A.-G., Fed. Rep. Ger.
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 760,195, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

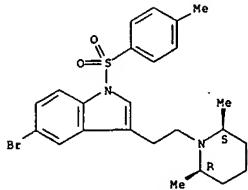
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 4870085 | A | 19890926 | US 1988-175066 | 19880330 |
| DE 3430284 | A1 | 19860227 | DE 1984-3430284 | 19840817 |
| PRIORITY APPLN. INFO.: | | | DE 1984-3430284 | A 19840817 |
| | | | US 1985-760195 | A2 19850729 |

OTHER SOURCE(S): CASREACT 112:198126; MARPAT 112:198126
IT 126827-56-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as selective hydroxytryptamine antagonist)
RN 126827-56-3 HCPLUS
CN 1H-Indole, 5-bromo-3-[2-(2,6-dimethyl-1-piperidinyl)ethyl]-1-[(4-methylphenyl)sulfonyl]-, monohydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• 10518612 and 10519219

L8 ANSWER 215 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



• HCl

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 28 Apr 1990
 AB The title compds. R1-A-D-Trp(R2)-Phe-R3 [I; R1 = H, protective group; R2 = H, protective group, carbamoylalkyl, (protected) carboxyalkyl; R3 = aralkyl, NR4R5, OR6; R4, R5 = H, (substituted) aryl, alkyl; R4R5 = atoms to complete benzene-condensed lower alkylene chains; R6 = H, (substituted) aryl, alkyl; A = bond, 1-2 amino acid residues; when A = D-Trp, R4 = H], useful as tachykinin antagonists for treating asthma, were prepared. Thus, BOC-D-Trp(CHO)-OH, (BOC = Me3CO2C), H-Phe-OBzL (BzL = PhCH2), and hydroxybenzotriazole in CH2Cl2/DMF were treated with 1-ethyl-3-(3'-dimethylaminopropyl)carbodiimide with ice cooling to give BOC-D-Trp(CHO)-Phe-OBzL. Several I at 1 μ g/ml gave 100% inhibition of 3H-labeled substance P binding to guinea pig lung membrane fractions.

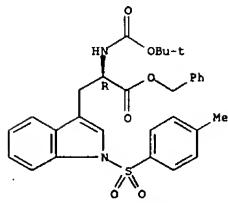
ACCESSION NUMBER: 1990158977 HCAPLUS
 DOCUMENT NUMBER: 112:158977
 TITLE: Preparation and testing of triptophylphenylalanine derivatives as tachykinin antagonists
 INVENTOR(S): Matsumo, Masaki; Hagiwara, Daijirou; Miyake, Hiroshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 115 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| EP 333174 | A2 | 19890920 | EP 1989-104617 | 19890315 |
| EP 333174 | A3 | 19910529 | | |
| EP 333174 | B1 | 19960508 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | |
| ZA 8901551 | A | 19891129 | ZA 1989-1551 | 19890228 |
| US 5187156 | A | 19930216 | US 1989-317858 | 19890302 |
| FI 8901176 | A | 19890917 | FI 1989-1176 | 19890313 |
| NO 8901082 | A | 19890918 | NO 1989-1082 | 19890314 |
| HU 49628 | A2 | 19891030 | HU 1989-1226 | 19890314 |
| DK 8901263 | A | 19890917 | DK 1989-1263 | 19890315 |
| AU 8931324 | A | 19890921 | AU 1989-31324 | 19890315 |
| CN 1037156 | A | 19891115 | CN 1989-101276 | 19890315 |
| CA 1329444 | C | 19940510 | CA 1989-593831 | 19890315 |
| AT 137763 | T | 19960515 | AT 1989-104617 | 19890315 |
| JP 01287095 | A | 19891117 | JP 1989-64887 | 19890316 |
| PRIORITY APPLN. INFO.: | | | GP 1988-6193 | A 19880316 |
| | | | GB 1988-25323 | A 19881028 |
| | | | GB 1989-1964 | A 19890130 |

OTHER SOURCE(S): MARPAT 112:158977
 IT 126090-34-4P 126090-35-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for tachykinin antagonist)
 RN 126090-34-4 HCAPLUS
 D-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

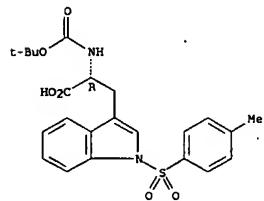
Absolute stereochemistry.

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 126090-35-5 HCAPLUS
 CN D-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

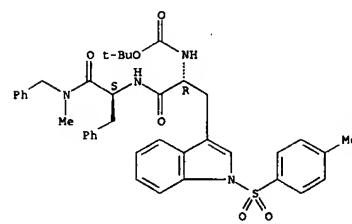
Absolute stereochemistry.



IT 126088-78-6P 126088-94-6P 126090-11-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as tachykinin antagonist for treating asthma)
 RN 126088-78-6 HCAPLUS
 CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

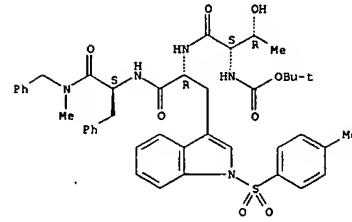
Absolute stereochemistry.

L8 ANSWER 216 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)



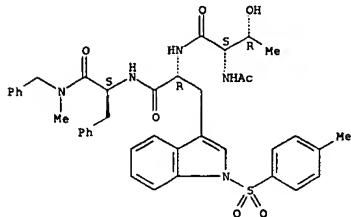
RN 126088-94-6 HCAPLUS
 CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-threonyl-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 126090-11-7 HCAPLUS
 CN L-Phenylalaninamide, N-acetyl-L-threonyl-1-[(4-methylphenyl)sulfonyl]-D-tryptophyl-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



H-Lys-Ala-Pro-Ser-Gly-Arg-Met-Ser-Ile-Val.
Lys-Asn-Leu-Gln-Asn-Leu-Asp-Pro-Ser-His-Arg-
Ile-Ser-Asp-Arg-Asp-Tyr-Met-Gly-Trp-Met-Asp.
Phe-NH₂

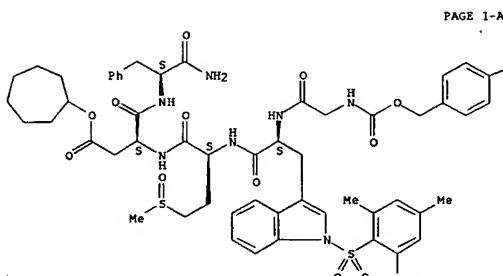
AB The title compound (I) was prepared by coupling of 8 appropriate peptide fragments, which were sep. prepared by coupling of the appropriate protected amino acids.

ACCESSION NUMBER: 1990:139943 HCPLUS
DOCUMENT NUMBER: 112:139943
TITLE: Preparation of triptacontapeptide amide (LCCX-33)
INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Kiyama, Shinya
PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
CODEN: JOKKAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| JP 01250398 | A | 19891005 | JP 1988-80117 | 19880331 |
| PRIORITY APPLN. INFO.: | | | JP 1988-80117 | 19880331 |
| IT 120285-87-2 | | | | |
| RL: RCT (Reactant); RACT (Reactant or reagent) (peptide coupling of, in preparation of human cholecystokinin) | | | | |
| RN 120285-87-2 HCPLUS | | | | |
| CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonylglycyl-1- [(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2- aminobutanoyl-L- α -aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME) | | | | |

Absolute stereochemistry.

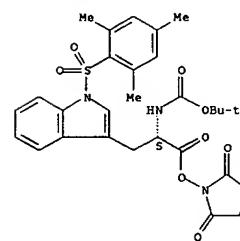


PAGE 1-B

—OMe

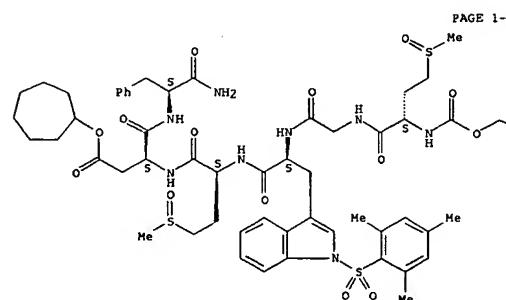
IT 100642-70-4P 120285-75-8P 120285-80-5P
120285-88-3P 120285-89-4P 120285-90-7P
120286-02-4P 120298-58-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, in preparation of human cholecystokinin)
RN 100642-70-4 HCPLUS
CN Carbamic acid, [2-[(2,5-dioxo-1-pyrrolidinyl)oxy]-2-oxo-1-[(1-[(2,4,6-
trimethylphenyl)sulfonyl]-1H-indol-3-yl)methyl]-1,1-dimethyl-ethyl
ester, (S)- (9CI) (CA INDEX NAME)

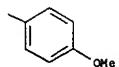
Absolute stereochemistry.



RN 120285-75-8 HCPLUS
CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-4-
(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-
trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-
aminobutanoyl-L- α -aspartyl-, cycloheptyl ester (9CI) (CA INDEX
NAME)

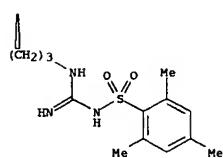
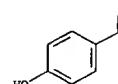
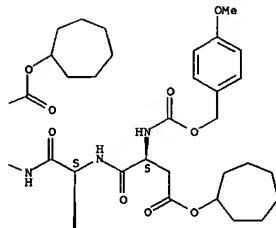
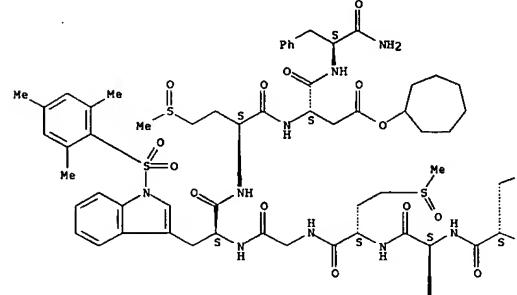
Absolute stereochemistry.





RN 120285-80-5 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L- α -aspartyl-L- β -(imino[(2,4,6-trimethylphenyl)sulfonyl]amino)methyl]-L-ornithyl-L- α -aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

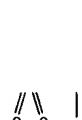
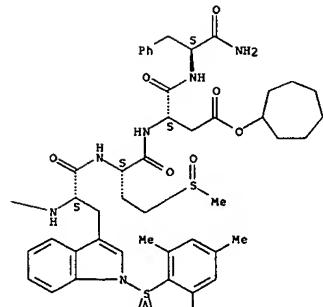
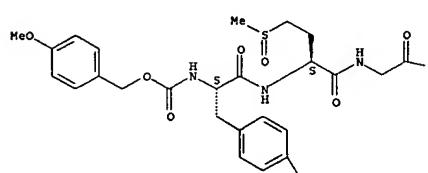
Absolute stereochemistry.



RN 120285-88-3 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]L-tyrosyl-4-

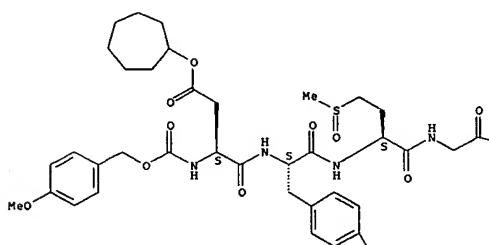
L8 ANSWER 217 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 120285-89-4 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]-L- α -aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

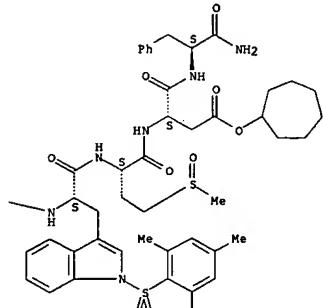


• 10518612 and 10519219

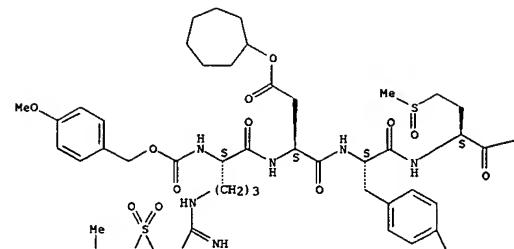
L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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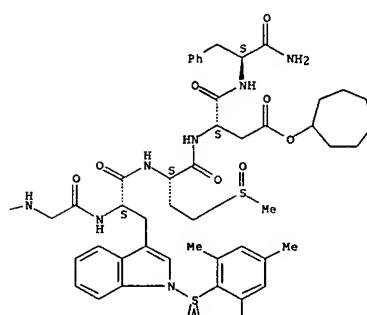
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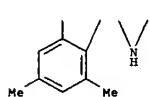
RN 120285-90-7 HCAPLUS
 CN L-Phenylalaninamide, N-[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}methyl]-N2-[(4-methoxyphenyl)methoxy]carbonyl-L-ornithyl-L- α -aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

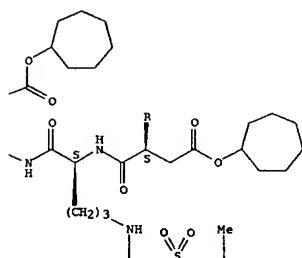
L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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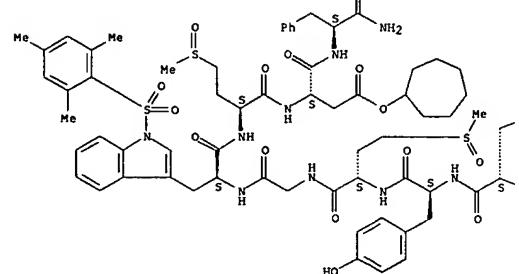
RN 120286-02-4 HCAPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L-histidyl-N5-isoleucyl-L-seryl-L- α -aspartyl-N5-[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithyl-L- α -aspartyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

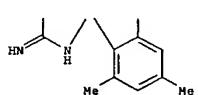
L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 217 OF 294 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

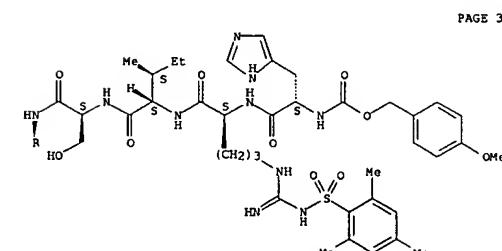
PAGE 1-A



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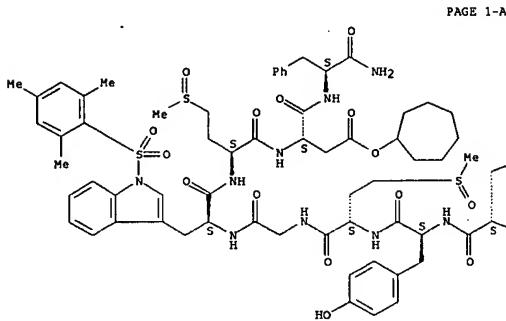
PAGE 3-A



• 10518612 and 10519219

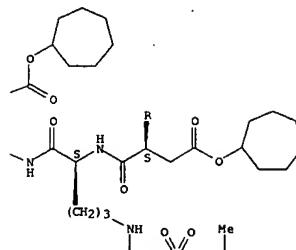
L8 ANSWER 217 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RN 120298-58-0 HCPLUS
 CN L-Phenylalaninamide, N-[[[(4-methoxyphenyl)methoxy]carbonyl]carbonyl]-L- α -aspartyl-L-prolyl-O-(phenylmethyl)-L-seryl-L-histidyl-N5-[imino[[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L-isoleucyl-L-seryl-L- α -aspartyl-N5-[imino[[[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl]-L-ornithyl-L- α -aspartyl-L-tyrosyl-4-(methylsulfonyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfonyl)-L-2-aminobutanoyl-L- α -aspartyl-, 8,10,16-tricycloheptyl 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

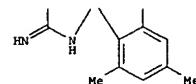


L8 ANSWER 217 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

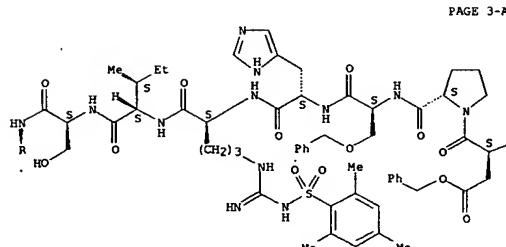
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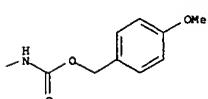
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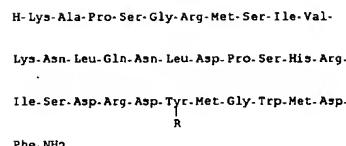
L8 ANSWER 217 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



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L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 13 Apr 1990
 GI



AB Polypeptides, e.g., human cholecystokinin (I; R = H (II), containing Tyr, Ser, and/or Thr, are selectively sulfonylated at the Tyr OH group by (1) protection of the polypeptide NH₂ groups with a base-cleavable protective group, e.g., 9-fluorenylmethoxycarbonyl (Fmoc), and (2) selective masking of the Ser and/or Thr-OH groups, e.g., with tert-BuPh₂Si, followed by sulfonylation. Copresence of PhOH during the (1) and (2) procedures further prevents the modification of Tyr-OH group and particularly improves the selectivity of the masking (2). Thus, 7.8 μ mol II (prepared by coupling of protected peptide fragments) and 30 equiv PhOH were reacted 2 h under ice-cooling with 30 equiv N-(9-fluorenylmethoxycarbonyloxy)succinimide in aqueous DMF to give Fmoc derivative which was treated with 120 equiv

tert-BuPh₂SiCl in DMF in the presence of 120 equiv PhOH and 120 equiv imidazole to give, after chromatog. on Sephadex LH-20, protected II. This was stirred 24 h at 25° with 100 equiv pyridine-SO₃ complex in DMF containing 30 equiv HSCN₂H₂S, chromatographed on Sephadex LH-20, and then deprotected with Bu₄N⁺F⁻ in DMF to give, after chromatog. on Sephadex G-10, ion exchange chromatog., and finally HPLC on Asahipak ODS-50 column, 15% I (R = SO₃H).

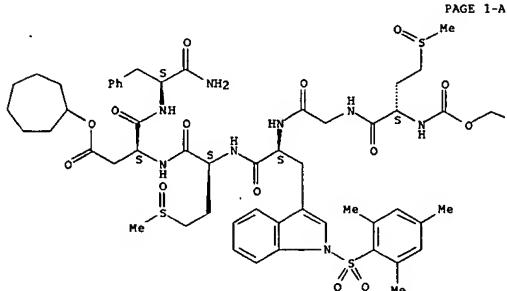
ACCESSION NUMBER: 1990:139842 HCPLUS
 DOCUMENT NUMBER: 112:139842
 TITLE: Selective sulfonylation of tyrosine-, serine-, and/or threonine-containing polypeptides at hydroxy group of tyrosine
 INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Kiyama, Shinya
 PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JXXXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|------------|
| JP 01250396 | A | 19891005 | JP 1988-80116 | 19880331 |
| JP 06081759 | B | 19941019 | | |
| US 5059679 | A | 19911022 | US 1989-331292 | 19890330 |
| IT 120285-75-8 | | | JP 1988-80116 | A 19880331 |

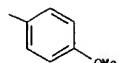
10518612 and 10519219

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (peptide coupling of, in prepn. of human cholecystokinin)
 RN 120285-78-8 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



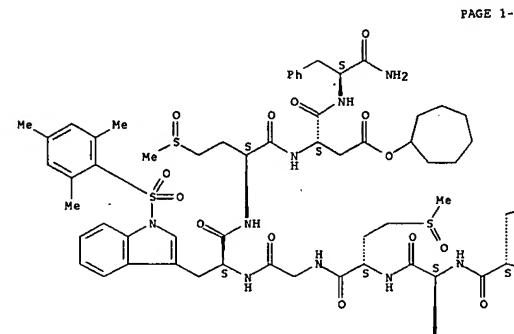
PAGE 1-B



IT 120285-73-6P 120285-76-9P 120285-77-0P
 120285-78-1P 120285-79-2P 120298-57-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for human cholecystokinin)
 RN 120285-73-6 HCPLUS

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L- α -aspartyl-N5-[(2,4,6-trimethylphenyl)sulfonyl]amino]methyl-L-ornithyl-L- α -aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

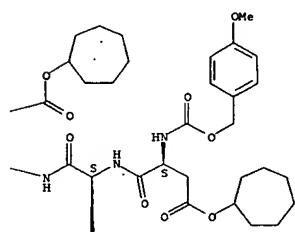
Absolute stereochemistry.



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L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

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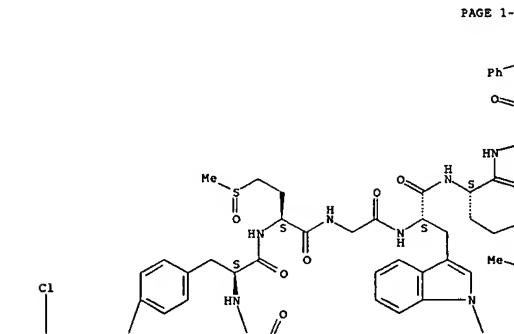
PAGE 2-A

RN 120285-76-9 HCPLUS
 CN L-Phenylalaninamide, O-[(2,6-dichlorophenyl)methyl]-N-[(4-methoxyphenyl)methoxy]carbonyl-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, cycloheptyl ester

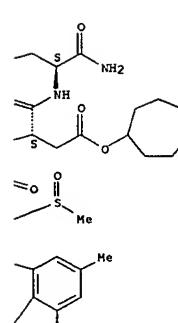
PAGE 2-B

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



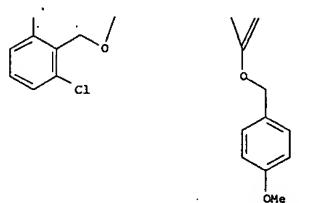
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* 10518612 and 10519219

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



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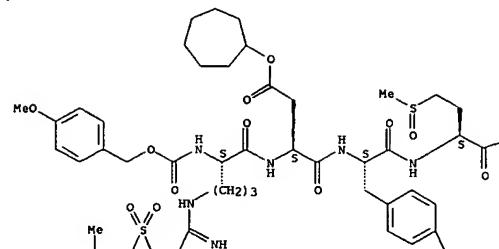


RN 120285-77-0 HCPLUS
CN L-Phenylalaninamide, N5-[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}meth-
yl]-N2-[(4-methoxyphenyl)methoxycarbonyl]-L-ornithyl-L- α -aspartyl-
O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-
aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-
(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl
ester (9CI) (CA INDEX NAME)

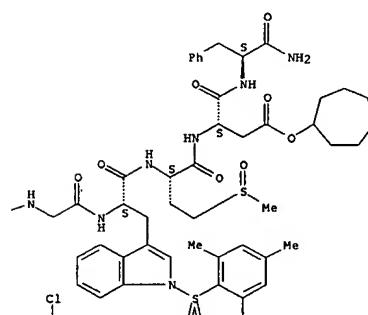
Absolute stereochemistry.

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

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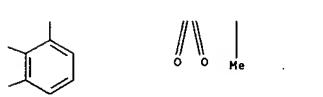


L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

L8 ANSWER 218 OF 294 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



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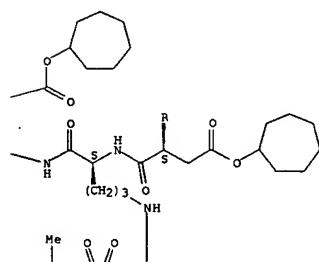


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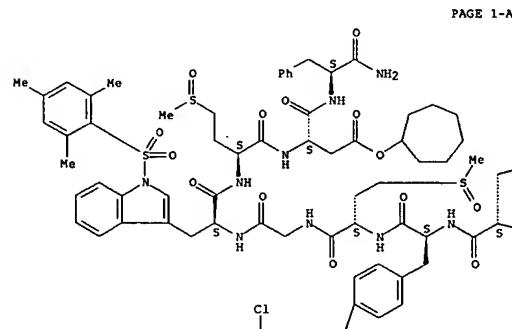
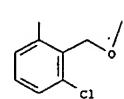
RN 120285-78-1 HCPLUS
CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxycarbonyl]-L-histidyl-N5-
[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithyl-L- α -
isoleucyl-L- α -seryl-L- α -aspartyl-N5-[imino{[(2,4,6-
trimethylphenyl)sulfonyl]amino}methyl]-L-ornithyl-L- α -aspartyl-O-
[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-
aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-
(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, tricycloheptyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

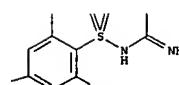
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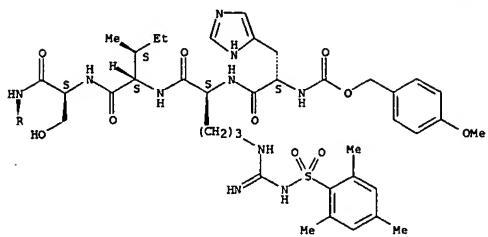
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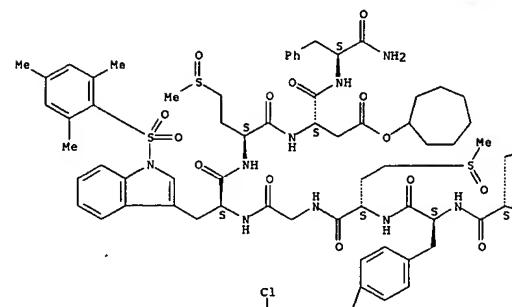
PAGE 3-A



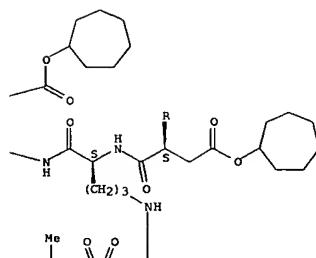
RN 120285-79-2 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L- α -aspartyl-L-prolyl-O-(phenylmethyl)-L-seryl-L-histidyl-N δ -[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithyl-L-isoleucyl-L-seryl-L- α -aspartyl-N δ -[imino{[(2,4,6-trimethylphenyl)sulfonyl]amino}methyl]-L-ornithyl-L- α -aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoyl-4-(methylsulfinyl)-L-tryptophyl-4-(methylsulfinyl)-L-tyrosyl-4-aminobutanoyl-L- α -aspartyl-, 8,10,16-tricycloheptyl 1-(phenylmethyl)ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

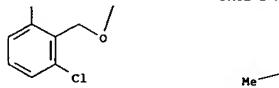
PAGE 1-A



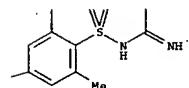
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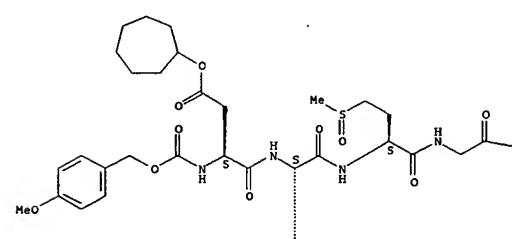
PAGE 2-B



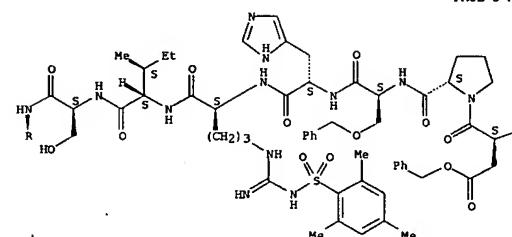
RN 120298-57-9 HCPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl-L- α -aspartyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-4-(methylsulfinyl)-L-2-aminobutanoylglycyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

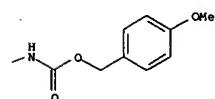
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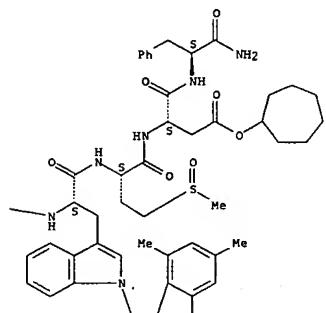
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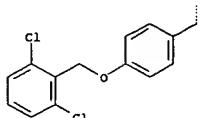
PAGE 3-B



PAGE 1-B



PAGE 2-A

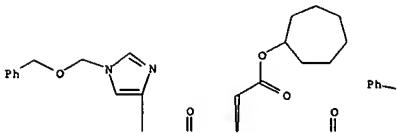


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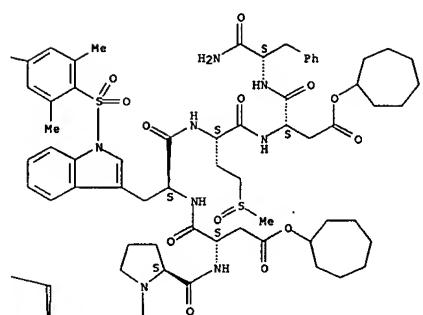


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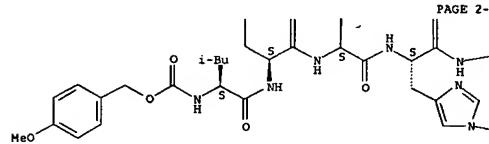
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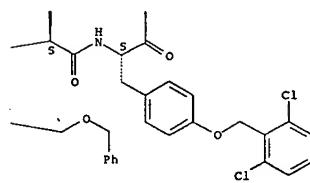
PAGE 1-B



PAGE 2-A

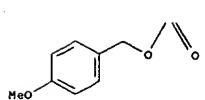
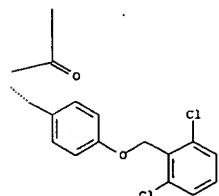
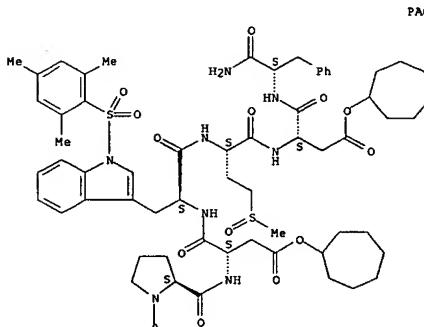
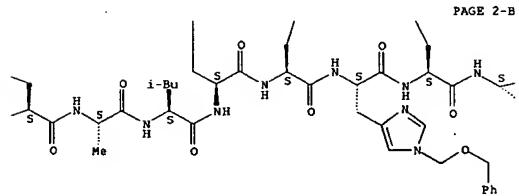
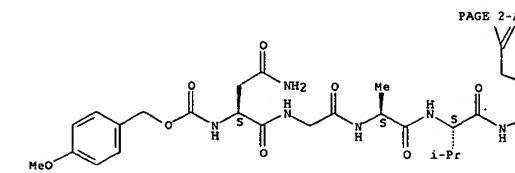
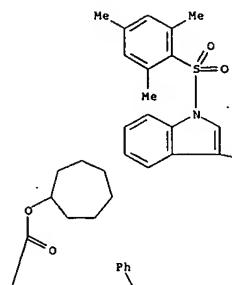
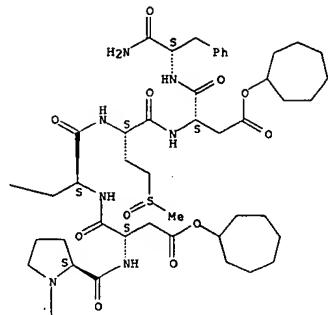


PAGE 2-B



RN 123197-14-8 HCPLUS
 CN L-Phenylalaninamide, N2-[[[(4-methoxyphenyl)methoxy]carbonyl]-L-asparaginylglycyl-L-alanyl-L-valyl-L-a-glutamyl-L-alanyl-L-leucyl-1-[(phenylmethoxy)methyl]-L-histidyl-L-a-aspartyl-1-[(phenylmethoxy)methyl]-L-histidyl-L-phenylalanyl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-L-prolyl-L-a-aspartyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L-a-aspartyl-, tricycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 123196-94-1
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and sequential deblocking and peptide coupling of, with
 tyrosine mixed anhydride)

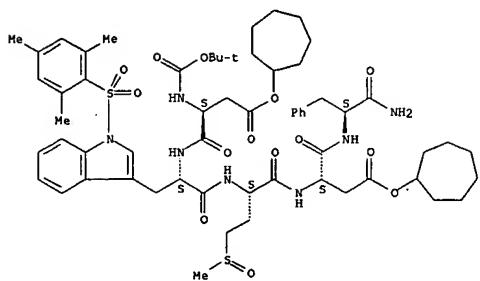
RN 123196-94-1 HCPLUS
 CN L-Phenylalaninamide, 1-[(4-methoxyphenyl)methoxy]carbonyl]-L-prolyl-L-
 α -aspartyl-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-
 (methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl
 ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 123196-93-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation and sequential deblocking and peptide coupling reactions of)

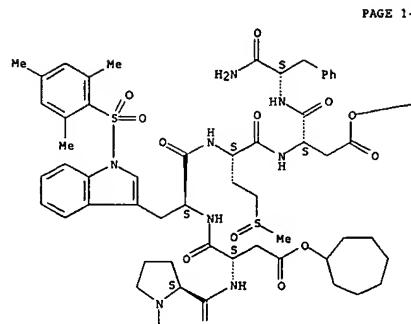
RN 123196-93-0 HCPLUS
 CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L- α -aspartyl-1-
 [(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-
 aminobutanoyl-L- α -aspartyl-, dicycloheptyl ester (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



IT 123196-84-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as intermediate for chicken antral peptide)
 RN 123196-84-9 HCAPLUS
 CN L-Phenylalaninamide, O-[(2,6-dichlorophenyl)methyl]-N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-L-prolyl-L- α -aspartyl-L-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, dicycloheptyl ester (9CI) (CA INDEX NAME)

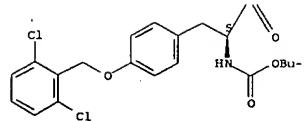
Absolute stereochemistry.



PAGE 1-B



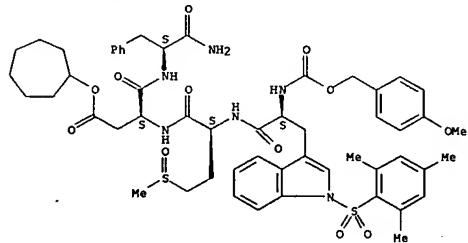
PAGE 2-A



IT 123196-91-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (sequential deblocking and peptide coupling, with aspartic acid)

active ester)
 RN 123196-91-8 HCAPLUS
 CN L-Phenylalaninamide, N-[(4-methoxyphenyl)methoxy]carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]-L-tryptophyl-4-(methylsulfinyl)-L-2-aminobutanoyl-L- α -aspartyl-, cycloheptyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ED Entered STN: 20 Aug 1989
 AB Trialkylsilyl halides, if necessary in combination with a cation scavenger, e.g. thioethers, are used as selective, noncorrosive, and relatively side product-free deprotecting agents in the peptide synthesis. A protected porcine vasactive intestinal polypeptide (pVIP), i.e. p-MeO2-His-Ser(Bz1)-Asp-Ala-Val-Phe-Thr-Asp-Asn-Tyr-Thr-Arg(Mts)-Leu-Arg(Mts)-Lys-Gln-Met(O)-Ala-Val-Lys(Z)-Lys(Z)-Tyr-Leu-Asn-Ser-Ile-Leu-Asn-NH2 (Bz1 = PhCH2, Mts = mesitylenesulfonate, Z = PhCH2O2C) was treated with 1M Me3SiBr-thioanisole/CF3CO2H 3 h at 0° to give, after gel filtration purification with Sephadex G-25, (93% pVIP) which was repurified

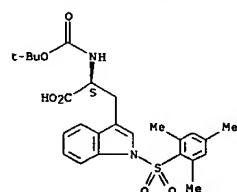
by Sephadex G-25 using gradient elution with 0.01M and 0.2M AcOH/H2O to give 48% pVIP (a total yield 45% vs. 48 and 39% by HF and CF3CO2H/anisole, resp.).

ACCESSION NUMBER: 1989:458361 HCAPLUS
 DOCUMENT NUMBER: 111:58361
 TITLE: Trialkylsilyl halides in combination with a cation scavenger as deprotecting agents in peptide synthesis
 INVENTOR(S): Yajima, Haruaki; Fujii, Nobutaka; Nomizu, Kiyoshi; Asano, Katsuhiko
 PATENT ASSIGNEE(S): Kirin Brewery Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKKXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|-----------------|----------|
| JP 01022897 | A | 19890125 | JP 1987-175880 | 19870716 |
| PRIORITY APPLN. INFO.: | | | JP 1987-175880 | 19870716 |
| OTHER SOURCE(S): | MARPAT | 111:58361 | | |

IT 92916-47-7
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (deprotection of, by trimethylsilyl bromide and anisole)
 RN 92916-47-7 HCAPLUS
 CN L-Tryptophan, N-[(1,1-dimethylethoxy)carbonyl]-1-[(2,4,6-trimethylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10518612 and 10519219

=> fil reg

COST IN U.S. DOLLARS

| | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|---------------------|------------------|
| FULL ESTIMATED COST | 112.37 | 465.54 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| | SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|---------------------|------------------|
| CA SUBSCRIBER PRICE | -15.75 | -16.50 |

CA SUBSCRIBER PRICE

FILE 'REGISTRY' ENTERED AT 10:12:37 ON 18 DEC 2006

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STRUCTURE FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6
DICTIONARY FILE UPDATES: 15 DEC 2006 HIGHEST RN 915749-75-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and

10518612 and 10519219

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 10-13 10-11 11-16 13-14 14-15
15-16

exact/norm bonds :

5-7 6-9 7-8 8-9 9-12 12-17

exact bonds :

11-12

normalized bonds :

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isolated ring systems :

containing 10 :

Match level :

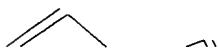
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L9 STRUCTURE UPLOADED

=> d 19

L9 HAS NO ANSWERS

L9 STR



10518612 and 10519219

PROJECTED ANSWERS: 5890 TO 8136

L10 50 SEA SSS SAM L9

=> s 19 full
FULL SEARCH INITIATED 10:14:41 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 168146 TO ITERATE

100.0% PROCESSED 168146 ITERATIONS 6889 ANSWERS
SEARCH TIME: 00.00.01

L11 6889 SEA SSS FUL L9

=> fil hcaplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 168.26 633.80

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
|--|------------|---------|
| CA SUBSCRIBER PRICE | ENTRY | SESSION |
| | 0.00 | -16.50 |

FILE 'HCAPLUS' ENTERED AT 10:14:47 ON 18 DEC 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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10518612 and 10519219

10518612 and 10519219.

L13 ANSWER 200 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 17 Nov 2005
ACCESSION NUMBER: 20051215907 HCPLUS
DOCUMENT NUMBER: 1431452897
TITLE: Compositions including opioids and methods of their use in treating pain
INVENTOR(S): Leighton, Harry Jefferson; Borsook, David; Lawton, Stephen Ashley
PATENT ASSIGNEE(S): Descartes Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005107467 | A2 | 20051117 | WO 2005-US15044 | 20050429 |
| WO 2005107467 | A3 | 20060413 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, IU, ID, IL, IN, IS, JP, KE, KG, KW, KR, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, HX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TZ, TM, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, HZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2004-567539P P 20040503
US 2004-584543P P 20040701
AB The invention features compns. for treatment of pain or nociception and methods of their use. The compns. include the combination of two or more drugs, such as an opioid (e.g., delta, kappa, or mu), a non-steroidal anti-inflammatory drug (NSAID) or acetaminophen, and a dopaaminergic agent. These drug combinations may be administered alone (i.e., treatment is accomplished using a composition that consists of or consists essentially of the drug combination itself), or the drug combinations may be administered in combination with other agents.

IT is conjunction with yet addnl. compdgs.
53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(compdgs. including opioid non-steroidal anti-inflammatory drugs and
decongestant agents for treating pain and decreasing side effects)
RN 53-86-1 HCAPUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)

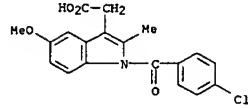
L13 ANSWER 201 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 11 Nov 2005

ED Entered STN: 11 Nov 2005
ACCESSION NUMBER: 2005:1200967 HCAPLUS
DOCUMENT NUMBER: 143:460154
TITLE: Preparation of fused heterocyclic compounds as potassium channel modulators
INVENTOR(S): John, James A.; Lloyd, John; Kover, Alexander
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: F1XXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2005105096 | A2 | 20051110 | WO 2005-US12542 | 20050414 |
| WO 2005105096 | A3 | 20060706 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, LA, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, CG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, ES, FI, FR, GB, GR, HR, IE, IS, LT, LU, MC, NL, PL, PT, NO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, MN, SN, ID, TG | | | | |
| US 2005250783 | A1 | 20051110 | US 2005-104856 | 20050413 |
| PRIORITY APPLN. INFO.: | | | US 2004-563143P | P 20040415 |
| OTHER SOURCE(S): | | MARPAT 143:460154 | | |

OTHER SOURCE(S): MARPAT 143:460154
GI

L13 ANSWER 200 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



L13 ANSWER 201 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

AB Compds. of formula I [n and m are integers such that ring J is a 5-7 membered ring; A, B, D, and E are -CR₆, -CR₆-, -CO-, -NR₇, -NR₇-, -O-, -S-, a bond or a double bond, such that ring G is a 5-6 membered heterocycle with at least one N atom; R₁ = aryl substituted with one or more X; X = -(CH₂)_p(21)CH₂)_z2 which substituents may together form an (un)substituted carbocycle or heterocycle; R₂ = aryl, heteroaryl, cycloalkyl or heterocyclo each optionally substituted with one or more X; Y = -CO-, -C(S)-, -SO₂, etc.; R₃-B are the same or different and independently equal to X, or R₃-5 may in pairs of two form an (un)substituted carbocycle or heterocycle, or R₆ and R₇ together in pairs of two form an (un)substituted carbocycle or heterocycle, etc.; Z1 = S, SO, CO, etc.; Z2 = H, (un)substituted alkyl, alkenyl, etc.; p and a independently = 0-10; q = 0-1], and their pharmaceutically acceptable salts, are prepared and disclosed as potassium channel modulators (no data). Thus, e.g., II was prepared by cyclocondensation of III (preparation given).

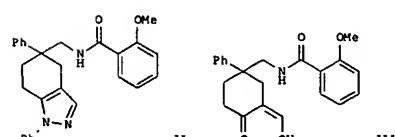
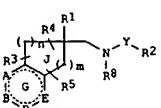
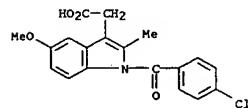
IT Ph hydrazine. Pharmac 53-86-1 Indometacin

IT 53-86-1, Indomethacin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fused heterocyclic compds. and their use for treatment of diseases)

RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)

CN IR-1Indole-3-acetate
(CA INDEX NAME)



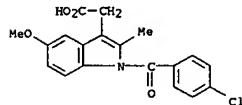
10518612 and 10519219

L13 ANSWER 202 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 11 Nov 2005
 ACCESSION NUMBER: 2005:1200856 HCPLUS
 DOCUMENT NUMBER: 143:458529
 TITLE: Methods of treating ankylosing spondylitis using anti-TNF antibodies and peptides of human tumor necrosis factor
 INVENTOR(S): Le, Junning; Vilcek, Jan T.; Daddona, Peter E.; Ghayeb, John; Knight, David M.; Siegel, Scott A.; Shealy, David J.
 PATENT ASSIGNEE(S): Centocor, Inc., USA; New York University
 SOURCE: U.S. Pat. Appl. Publ., 113 pp., Cont.-in-part of U.S. Ser. No. 637,759.
 CODEN: USXKC0
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 10
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| US 2005249735 | A1 | 20051110 | US 2004-10954 | 20041213 |
| US 2003017584 | A1 | 20030123 | US 2001-756398 | 20010108 |
| US 6835823 | B2 | 20041228 | | |
| US 2003049725 | A1 | 20030313 | US 2001-920137 | 20010801 |
| US 2002022720 | A1 | 20020221 | US 2001-927703 | 20010810 |
| ZA 2003001856 | A | 20040621 | ZA 2003-1856 | 20030306 |
| US 2004120952 | A1 | 20040624 | US 2003-637759 | 20030808 |
| WO 2006065975 | A2 | 20060622 | WO 2005-US45388 | 20051213 |
| WO 2006065975 | A3 | 20060831 | | |
| WO 2006065975 | B1 | 20061019 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LR, LS, LT, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HL, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH | | | | |
| PRIORITY APPLN. INFO.: | | | US 2000-2233609 | P 20000807 |
| | | | US 2000-236826P | P 20000929 |
| | | | US 2001-756398 | A1 20010108 |
| | | | US 2001-920137 | A2 20010801 |
| | | | US 2001-927703 | A2 20010810 |
| | | | US 2003-637759 | A2 20030808 |
| | | | US 1991-670827 | B2 19910318 |
| | | | US 1992-853606 | B2 19920318 |
| | | | US 1992-943852 | B2 19920911 |
| | | | US 1993-10406 | B2 19930129 |
| | | | US 1993-13413 | B2 19930202 |
| | | | US 1994-192093 | A2 19940204 |
| | | | US 1994-192102 | A2 19940204 |
| | | | US 1994-192861 | A2 19940204 |

L13 ANSWER 203 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Nov 2005
 ACCESSION NUMBER: 2005:1173832 HCPLUS
 DOCUMENT NUMBER: 143:426980
 TITLE: Skin compositions containing Punica granatum flower extracts
 INVENTOR(S): Yamahara, Joji
 PATENT ASSIGNEE(S): Sakamoto Yakusen Y. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
 CODEN: JKKOKAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| JP 2005306931 | A | 20051104 | JP 2004-151064 | 20040420 |
| PRIORITY APPLN. INFO.: | | | JP 2004-151064 | 20040420 |
| AB | The invention provides a skin composition characterized by containing Punica granatum flower extract as fibroblast-derived elastase inhibitor, wherein the composition has anti-aging and skin-lightening effect. Skin compns. containing further specified components are also disclosed. For example, a skin lotion containing Punica granatum flower extract 1, glycerin 3, 1,3-butylene glycol 2, polyethylene glycol 2, ethanol 5, Me paraben 0.1, xanthan gum 0.1, citric acid 0.01, sodium citrate 0.03, trimethylglycine 1, and water balance to 100 % was formulated. | | | |
| IT | 53-86-1, Indomethecine RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (skin compns. containing punica granatum flower extract and other active components) | | | |
| RN | 53-86-1 HCPLUS | | | |
| CN | 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME) | | | |

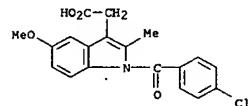


L13 ANSWER 202 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 US 1994-324799 A2 19941018
 US 1995-570674 B3 19951211
 US 1998-133119 A3 19980812
 US 2004-10954 A 20041213

AB Anti-TNF antibodies, fragments and regions thereof which are specific for human tumor necrosis factor- α (TNF α) and are useful in vivo diagnosis and therapy of a number of TNF α -mediated pathologies and conditions, including ankylosing spondylitis, as well as polynucleotides coding for murine and chimeric antibodies, methods of producing the antibody, methods of use of the anti-TNF antibody, or fragment, region or derivative thereof, in immunoassays and immunotherapeutic approaches are provided.

IT 53-86-1, Indomethecine
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods of treating ankylosing spondylitis using anti-tumor necrosis factor antibodies and peptides of human tumor necrosis factor)

RN 53-86-1 HCPLUS
 CN 1H-indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



L13 ANSWER 204 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Nov 2005
 ACCESSION NUMBER: 2005:1172812 HCPLUS

DOCUMENT NUMBER: 144:93988
 TITLE: Statistical optimization of indomethecin pellets coated with pH-dependent methacrylic polymers for possible colonic drug delivery
 AUTHOR(S): Akhangari, A.; Afrasiabi Garekani, H.; Sadeghi, F.; Azimiae, M.
 CORPORATE SOURCE: School of Pharmacy and Pharmaceutical Research Center, Mashhad University of Medical Sciences, Mashhad, Iran
 SOURCE: International Journal of Pharmaceutics (2005), 305(1-2), 22-30
 CODEN: IJPHDE; ISSN: 0378-5173

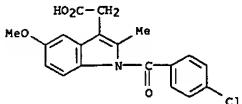
PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The objective of this study was to evaluate the effect of 2 factors (ratio of Eudragit S100 and Eudragit L100 and the coating level) on indomethecin release from pellets to optimize coating formulations for colonic delivery. Coating formulations were designed based on the full factorial design. Two independent variables were the ratio of Eudragit S100:Eudragit L100 (1:4, 1:1 and 1:0) and the level of coating (10%, 15% and 20%, weight/weight), resp. The evaluated responses were lag time prior to

drug release at pH 6.8 (the time required for drug release up to 2%) and percent of drug release at pH 6.8 in 5 h. Polymers were coated onto the pellets containing 20% (weight/weight) indomethecin, using a fluidized bed coating apparatus. Dissoln. test was carried out in media with different pH (1.2,

6.8 and 7.2). The dissoln. data revealed that the level of coating and the ratio of polymers are very important to achieve optimum formulation. Using responses and resulted statistical equations, optimum formulation consisted of Eudragit S100:L100 in 4:1 ratio and the level of coating (20%) was predicted. Practical results showed that the pellets prepared according to above formulation released no indomethecin at pH 1.2 (simulating stomach pH) and pH 6.5 (simulating proximal part of small intestine pH); drug release was slowly at pH 6.8 (simulating lower part of small intestine pH), but it was fast at pH 7.2 (simulating terminal ileum pH). The results of this study revealed that factorial design is a suitable tool for optimization of coating formulations to achieve colon delivery. It was shown that coating formulation consisted of Eudragit S100:Eudragit L100 in 4:1 ratio at 20% coating level has potential for colonic delivery of indomethecin loaded pellets. The optimized formulation produced dissoln. profiles that were close to predicted values.

IT 53-86-1, Indomethecine
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (statistical optimization of indomethecin pellets coated with pH-dependent methacrylic polymers for colonic drug delivery)

RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

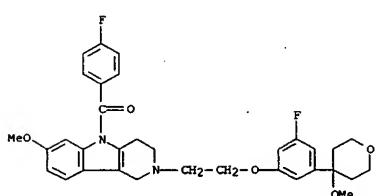


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 205 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 04 Nov 2005
 ACCESSION NUMBER: 2005:1172613 HCPLUS
 DOCUMENT NUMBER: 144:183992
 TITLE: Modification of eicosanoid profile in human blood treated by dual COX/LOX inhibitors
 AUTHOR(S): Pommeray, J.; Pommeray, N.; Henichart, J.-P.
 CORPORATE SOURCE: Institut de Chimie Pharmaceutique Albert Leopagnol, Lille, F-59006, Fr.
 SOURCE: Prostaglandins, Leukotrienes and Essential Fatty Acids (2005), 73(6), 411-417
 CODEN: PLEAU; ISSN: 0952-3278
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The arachidonic acid metabolizing enzymes, the cyclooxygenases (COXs) and lipoxygenases (LOXs), have been implicated in the development of a variety of cancers and numerous new therapeutic inhibitors are currently under investigation. However, given the interdependence of the two pathways, the effect of inhibiting one pathway with relatively selective agents can only be appreciated in the *in vivo* situation. Clearly then, because of their potential beneficial or deleterious effects, it is important to understand the nature and levels of the resulting arachidonic acid metabolites when treating patients with relatively selective inhibitor drugs. In this study, using reference COX-2, 5-LOX and dual COX-2/5-LOX inhibitors, we devised a protocol which permitted the simultaneous quantification of eicosanoid metabolites formed during stimulation of human peripheral venous blood samples with the calcium ionophore, A23187, in the absence and presence of lipopolysaccharide (LPS). Not surprisingly, the end products of both COX and LOX pathways were affected depending on the inhibitor, or combination of inhibitors, used and the concns. of drug tested. In conclusion, the method described permits the rapid screening of novel compds. for potentially pos. and/or neg. effects upon the products of arachidonic acid metabolism

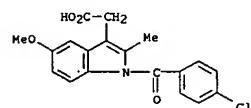
IT 874919-57-0
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (modification of eicosanoid profile in human blood treated by dual COX/LOX inhibitors)
 RN 874919-57-0 HCPLUS
 CN 1H-Pyrido[4,3-b]indole, 5-(4-fluorobenzoyl)-2-[2-[3-fluoro-5-(tetrahydro-4-methoxy-2H-pyran-4-yl)phenoxy]ethyl]-2,3,4,5-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 206 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 02 Nov 2005
 ACCESSION NUMBER: 2005:1166670 HCPLUS
 DOCUMENT NUMBER: 144:80831
 TITLE: Low direct cytotoxicity of nabumetone on gastric mucosal cells
 AUTHOR(S): Arai, Yasuhiro; Tanaka, Ken-Ichiro; Ushijima, Hironori; Tomizato, Wataru; Tsutsumi, Shinji; Aburaya, Mayuko; Hoshino, Tatsuya; Yokomizo, Kazumi; Suzuki, Keitarou; Katsu, Toshiaki; Tsuchiya, Tomofusa; Mizushima, Tohru
 CORPORATE SOURCE: Graduate School of Medical and Pharmaceutical Sciences, Kumamoto University, Kumamoto, 862-0973, Japan
 SOURCE: Digestive Diseases and Sciences (2005), 50(9), 1641-1646
 CODEN: DDSCDJ; ISSN: 0163-2116

PUBLISHER: Springer
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Prodrugs of non-steroidal anti-inflammatory drugs (NSAIDs) are widely used for clin. purposes because they are not harmful to the gastrointestinal mucosa. We recently showed that NSAIDs have direct cytotoxicity in NSAID-induced gastric lesions. We show here that under conditions where the NSAIDs indometacin and celecoxib clearly induce cell death, an NSAID prodrug, nabumetone, and its active metabolite 6-methoxy-2-naphthalacetic acid (6MNA), did not have such effects. Moreover, nabumetone and 6MNA exhibited much lower membrane permeabilizing activities than did indometacin and celecoxib. We recently reported that when an orally administered NSAID was used in combination with a low dose of i.v. administered indometacin, the severity of gastric lesions produced in rats depended on the cytotoxicity of the orally administered NSAID. Using a similar protocol, we show here that gastric lesions were produced when the orally administered NSAID was celecoxib, but not when nabumetone was used. We thus propose that the low direct cytotoxicity of nabumetone observed *in vitro* is maintained *in vivo*, and that the use of nabumetone does not harm the gastric mucosa.
 IT 53-86-1, Indometacin
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nabumetone and 6MNA induced necrosis, apoptosis in lesser extent compared to NSAIDs celecoxib and indometacin and celecoxib but not nabumetone aided in production of gastric lesions with i.v. indometacin in gastric mucosal cells)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 206 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

L13 ANSWER 207 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 01 Nov 2005

ACCESSION NUMBER: 2005:1165608 HCAPLUS

DOCUMENT NUMBER: 144:56712

TITLE: Determination of endocrine-disrupting phenols, acidic pharmaceuticals, and personal-care products in sewage by solid-phase extraction and gas chromatography-mass spectrometry

AUTHOR(S): Lee, Hing-Biu; Peart, Thomas E.; Svoboda, M.; Lewina

CORPORATE SOURCE: Aquatic Ecosystem Protection Research Branch, Environment Canada, National Water Research Institute, Burlington, ON, L7R 4A6, Can.

SOURCE: Journal of Chromatography, A (2005), 1094(1-2), 122-129

CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The occurrence, fate, and effects of phenols with endocrine-disrupting properties as well as some pharmaceuticals and personal-care products in the environment have frequently been discussed in recent literature. In many cases, these compds. were determined using individual methods which can be time-consuming if results for multiple parameters are required. Using a solid-phase extraction procedure with an anion exchanger, we have developed and optimized a multi-residue method for the extraction of 21 phenols and acids in sewage influent and effluent. The phenols and acids were then selectively eluted in sep. fractions and were converted into pentafluoropropionyl (PFP) and tert-butyldimethylsilyl (TBDMS) derivs. resp., for gas chromatog.-mass spectrometric (GC/MS) determination. When applied to the sewage samples under study, the results

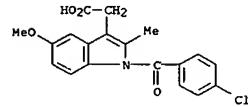
for nonylphenol, bisphenol A (BPA), triclosan (TCS), 17 β -estradiol (E2), estrone (E1), salicylic acid, ibuprofen, naproxen, diclofenac, and few other acidic drugs were consistent with those determined previously by individual methods. Using the same procedure, we also report, for the 1st time, the occurrence of 2-phenylphenol and parabens in those sewage samples.

IT 53-86-1, Indometacin

RL: ANT (Analyte); ANST (Analytical study)
(determination of endocrine-disrupting phenols and acidic pharmaceuticals and personal-care products in sewage by solid-phase extraction and gas chromatog.-mass spectrometry)

RN 53-86-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)



L13 ANSWER 207 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 208 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN

ED Entered STN: 28 Oct 2005

ACCESSION NUMBER: 2005:1154777 HCAPLUS

DOCUMENT NUMBER: 143:433974

TITLE: Gene expression profiling and markers for use in the assessment of hepatotoxicity

INVENTOR(S): Porter, Mark; Higgs, Brandon; Hendrick, Donna; Elashoff, Michael

PATENT ASSIGNEE(S): Gene Logic, Inc., USA

SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIKXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2005100989 | A2 | 20051027 | WO 2005-US111532 | 20050407 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TH, TN, TR, TT, TZ, UN, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2562343 | A1 | 20051027 | CA 2005-2562343 | 20050407 |
| PRIORITY APPLN. INFO.: | | | US 2004-559949P | P 20040407 |
| | | | WO 2005-US111532 | W 20050407 |

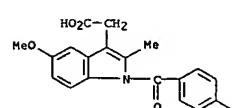
AB Methods of using the effects of a substance on gene expression profiles are described for use in assessing their toxicity, especially hepatotoxicity, are described. The invention also includes microarrays, computer systems comprising the toxicity prediction models, as well as methods of using the computer systems by remote users for determining the toxicity of test agents. A database of gene expression profiles for rat liver using a broad range of drugs, com. chem., and known poisons is developed.

IT 53-86-1, Indometacin

RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); BIOL (Biological study)
(assessing hepatotoxicity of gene expression profiling and markers for use in assessment of hepatotoxicity)

RN 53-86-1 HCAPLUS

CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)

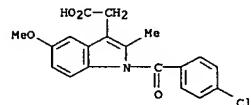


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L13 ANSWER 208 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

L13 ANSWER 209 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 21 Oct 2005
ACCESSION NUMBER: 2005:1132639 HCPLUS
DOCUMENT NUMBER: 143:392559
TITLE: Compositions comprising COX inhibitors and topically applied aldosterone antagonists, and methods for moisturizing skin
INVENTOR(S): Katz, Kenneth A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2005232857 | A1 | 20051020 | US 2005-104413 | 20050413 |
| PRIORITY APPLN. INFO.: US 2004-56184P, P 20040414 | | | | |
| AB The inventive subject matter relates to novel topically applied specific and non-specific COX inhibitors, and topically applied aldosterone antagonists, and methods for producing increased skin moisturization. These compns. provide a new treatment option for dry skin. | | | | |
| IT 53-86-1, Indomethacin | | | | |
| RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses): (compns. comprising COX inhibitors and topically applied aldosterone antagonists, and methods for moisturizing skin) | | | | |
| RN 53-86-1, HCPLUS | | | | |
| CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME) | | | | |



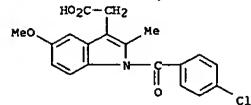
L13 ANSWER 210 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
ED Entered STN: 21 Oct 2005
ACCESSION NUMBER: 2005:1132617 HCPLUS
DOCUMENT NUMBER: 143:393082
TITLE: Nonsteroidal immunomodulating kit and composition and uses thereof
INVENTOR(S): Tamarkin, Dov; Einai, Meir; Friedman, Doron
Foamix Ltd., Israel
PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 911,367.
SOURCE: CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2005232869 | A1 | 20051020 | US 2005-78902 | 20050311 |
| WO 2004037225 | A2 | 20040506 | WO 2003-IB5527 | 20031024 |
| WO 2004037225 | A3 | 20041229 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005069566 | A1 | 20050331 | US 2004-911367 | 20040804 |
| PRIORITY APPLN. INFO.: IL 2002-152486 A 20021025 | | | | |
| US 2002-429546P P 20021129 | | | | |
| US 2003-492385P P 20030804 | | | | |
| WO 2003-IB5527 A2 20031024 | | | | |
| US 2004-911367 A2 20040804 | | | | |

AB A composition and therapeutic kit including an aerosol packaging assembly including a container accommodating a pressurized product and an outlet capable of releasing a foamable composition, including a nonsteroidal immunomodulating agent as a foam. The pressurized product includes a foamy composition including: a) a container accommodating a pressurized product; and b) an outlet capable of releasing the pressurized product as a foam; wherein the pressurized product comprises a foamy composition including: i. a nonsteroidal immunomodulating agent; ii. at least one organic carrier selected from the group consisting of a hydrophobic organic carrier, a polar solvent, an emollient and mixts. thereof, at a concentration of about 2% to about 50% by weight; iii. a surface-active agent; iv. about 0.1% to about 5% by weight of a therapeutically active foam adjvant, selected from the group consisting of a fatty sicc., a fatty acid, a hydroxy fatty acid; and mixts. thereof; v. about 0.01% to about 5% by weight of at least one polymeric additive selected from the group consisting of a bioadhesive agent, a gelling agent, a film forming agent and a phase change agent; vi. water; and vii. liquefied or compressed gas propellant at a concentration of about 3% to about 25% by weight of the total composition

IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L13 ANSWER 210 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
(nonsteroidal immunomodulating kit and compn. and uses thereof)
RN 53-86-1, HCPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

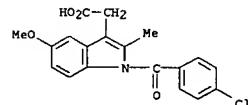


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L13 ANSWER 211 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 20 Oct 2005
 ACCESSION NUMBER: 2005:1123725 HCPLUS
 DOCUMENT NUMBER: 143:410673
 TITLE: Dissolvable tooth whitening strip comprising a polymer system
 INVENTOR(S): Buch, R. Michael; Gambogi, Robert J.; Velada, Jose
 PATENT ASSIGNEE(S): Glaxosmithkline, USA
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXMD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-----------------|------------|-----------------|------------|
| WO 2005097053 | A1 | 20051020 | WO 2005-US10941 | 20050331 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| AU 2005231416 | A1 | 20051020 | AU 2005-231416 | 20050331 |
| WO 2006107334 | A1 | 20061012 | WO 2005-US35518 | 20051004 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | US 2004-558798P | P 20040401 | WO 2005-US10941 | W 20050331 |
| AB The present invention provides a dissolvable strip for whitening teeth. The strip, which is preferably a single layer, has a whitening agent and a water-soluble or water dispersible polymer system. The dissolv. of the whitening composition is controlled by interaction of the whitening composition with an oral environment containing saliva. The present invention further provides a process for preparing the whitening strip in the form of a dry film and a method of whitening teeth. Thus, a whitening strip was prepared by mixing water 64.8%, carbamide peroxide 10%, Gantrez MS-955 9%, glycerin 8%, Plasdone K-90 8%, Pluronic F-68 0.1%, citric acid 0.05% and EDTA 0.05%, followed by drying at 37° for approx. 30 min. | | | | |

L13 ANSWER 211 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 IT 53-86-1, Indometacin
 RL: COS (Cosmetic use); DEV (Device component use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dissolvable tooth whitening strip comprising peroxide and polymer system)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 212 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 18 Oct 2005
 ACCESSION NUMBER: 2005:1116221 HCPLUS
 DOCUMENT NUMBER: 144:164128
 TITLE: Screening for new antioxidant compounds for topical administration using skin lipid model systems
 AUTHOR(S): Trommer, Hagen; Neubert, Reinhard H. H.
 CORPORATE SOURCE: Institute of Pharmaceutics and Biopharmaceutics, School of Pharmacy, Martin-Luther-University Halle-Wittenberg, Halle, Germany
 SOURCE: Journal of Pharmacy & Pharmaceutical Sciences (2005), 8(3), 494-506
 CODEN: JPPSFY; ISSN: 1482-1826
 URL: [http://www.ulberta.ca/~csp/JPPSB\(3\)/H.Trommer/lipid.pdf](http://www.ulberta.ca/~csp/JPPSB(3)/H.Trommer/lipid.pdf)
 PUBLISHER: Canadian Society for Pharmaceutical Sciences
 DOCUMENT TYPE: Journal; (online computer file)
 LANGUAGE: English
 AB Purpose: The effects of forty seven different substances (drugs, plant exts., plant ingredients and polysaccharides) on UV irradiation induced lipid peroxidation. Methods: Two lipid systems of different complexity were used as in vitro screening models. Iron ions were added as transition metal catalysts. A UV irradiation device was used to create high level radiation. The amount of lipid peroxidation secondary products was quantified by the thiobarbituric acid assay detecting malondialdehyde. Results: The screening for antioxidative compounds, for topical administration resulted in new, interesting findings. In the drug tests amantadine, bufeexamol, tryptophan, melatonin, propranolol and hyaluronic acid were found to act antioxidatively whereas for ascorbic acid pro-oxidative effects were determined. Buckwheat extract significantly reduced the level of irradiation induced lipid peroxidation, as well as the exts. of St. John's Wort, melissa and sage. The resistant starch novelose 330 and the samples of locust bean gum from a swing mill grinding series showed lipid protection after UV irradiation in the polysaccharide test rows.
 Conclusions: Human skin is constantly exposed to UV light and oxygen. Therefore, the administration of protectors in cosmetic formulations or sunscreens, as found in this study, may be helpful for the protection of the human skin against UV induced damage. In vivo experiments with substances found as protectors should follow to allow an in vitro-in vivo correlation and clinical interpretation of the data.
 IT 53-86-1, Indometacin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (indometacin augmented malondialdehyde amount of human stratum corneum lipid after UV induced lipid peroxidation in in vitro lipid model screening system)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)

L13 ANSWER 212 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 HO2C-CH2

The chemical structure is a substituted indole derivative. It features a 2-methylindole core with a methoxy group (MeO) at position 5 and a 4-chlorobenzoyl group (-C(=O)C6H4Cl) at position 1.

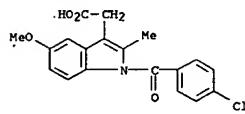
REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10518612 and 10519219

L13 ANSWER 213 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 14 Oct 2005
 ACCESSION NUMBER: 2005:1103549 HCPLUS
 DOCUMENT NUMBER: 143:353362
 TITLE: S/O type pharmaceutical preparation and process for producing the same
 INVENTOR(S): Goto, Masahiro; Kamiya, Norihiro; Watanabe, Junji; Yokoyama, Hideakira; Hirata, Akihiko; Fujii, Takeru
 PATENT ASSIGNEE(S): Aspion Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|---|----------------|-----------------|---------------------------|
| WO 2005094789 | A1 | 20051013 | WO 2005-JP6812 | 20050331 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | EP 1731139 | A1 | 20061213 | EP 2005-728929 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | PRIORITY APPLN. INFO.: | JP 2004-103347 | A 20040331 | WO 2005-JP6812 W 20050331 |
| AB Disclosed is a pharmaceutical preparation having such characteristics that while the leakage of low-mol. medicine in a strong-acid environment is remarkably reduced, low-mol. medicine is reduced in the intestinal tract, etc. in a weak-acid to neutral environment. There is provided an S/O (solid-in-oil) type pharmaceutical preparation having a medicine-containing complex dissolved or dispersed in an oil phase, characterized in that the complex is one comprising a mixture, containing a hydrophilic low-mol. medicine and a hydrophilic medicine-leakage-inhibiting protein and/or medicine-leakage-inhibiting polysaccharide, coated with a surfactant. Thus, sodium diclofenac, bovine serum albumin, sucrose erucate was mixed to form a water-in-oil emulsion, then the emulsion was freeze-dried to make a albumin-containing surfactant/diclofenac sodium composite. The composite was dispersed in a soybean oil by using ultrasonic wave to obtain a S/O composite suspension. | IT 53-86-1, Indomethacin RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (S/O type pharmaceutical compns. containing surfactant-containing drug/drug-leakage-inhibiting proteins or polysaccharide composites, and | | | |

L13 ANSWER 213 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 process for producing same)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)



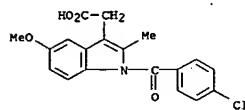
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 214 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 14 Oct 2005
 ACCESSION NUMBER: 2005:1103548 HCPLUS
 DOCUMENT NUMBER: 143:353431
 TITLE: Fine dispersion of sparingly soluble drug and process for producing the same
 INVENTOR(S): Kubo, Yoshiko; Yamakawa, Tetsumi; Yamasaki, Yasuomi
 PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------------------|----------------|-----------------|---------------------------|
| WO 2005094788 | A1 | 20051013 | WO 2005-JP5736 | 20050328 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | EP 1731138 | A1 | 20061213 | EP 2005-727436 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | PRIORITY APPLN. INFO.: | JP 2004-102780 | A 20040331 | WO 2005-JP5736 W 20050328 |

AB Disclosed are an effective and simple process for producing a fine dispersion of a sparingly soluble drug; and a fine sparingly-soluble drug dispersion having excellent dispersion stability. In a first step, a sparingly soluble drug is suspended in a liquid containing no pulverizing agent and the suspension is subjected to a high-pressure treatment with a high-pressure homogenizer. In a second step, a pulverizing agent is added to the dispersion obtained in the first step and this dispersion is subjected to a pulverization treatment such as a high-pressure treatment with a high-pressure homogenizer or an ultrasonic treatment. Thus, a fine dispersion of the sparingly soluble drug is effectively and simply produced in which the size of the particles dispersed is on the order of nanometer. The fine sparingly-soluble-drug dispersion produced has excellent dispersion stability and the fine particles of the sparingly soluble drug do not suffer aggregation/sedimentation even upon standing. Also provided is an excellent medicinal preparation reduced in the content of contaminants. It is obtained from the thus-produced fine dispersion of the sparingly soluble drug. For example, T-3912 suspended in water was homogenized using a high-pressure homogenizer. An aqueous solution of hydroxypropyl Me cellulose was added to the above solution and the mixture was repeatedly homogenized using a high-pressure homogenizer to give a microgranular dispersion. The dispersion was centrifuged and the upper layer was passed through a

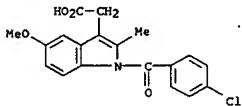
L13 ANSWER 214 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
 membrane filter, then mixed with chitosan and glycerin to give an isotonic soln. for eye drops.
 IT 53-86-1, Indomethacin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (fine dispersion of sparingly soluble drug and process for producing the same)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10518612 and 10519219

L13 ANSWER 215 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 14 Oct 2005
 ACCESSION NUMBER: 2005:1101681 HCAPLUS
 DOCUMENT NUMBER: 144:74561
 TITLE: Characterization of indomethacin-loaded lipid nanoparticles by differential scanning calorimetry
 AUTHOR(S): Castelli, Francesco; Puglia, Carmelo; Sarietra, Maria Grazia; Rizza, Luisa; Bonina, Francesco
 CORPORATE SOURCE: Department of Chemical Sciences, University of Catania, Catania, 95125, Italy
 SOURCE: International Journal of Pharmaceutics (2005), 304(1-2), 231-238
 CODEN: IJPHDE; ISSN: 0378-5173
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Solid lipid nanoparticles (SLN) and nanostructured lipid carriers (NLC) are interesting nanoparticulate delivery systems produced from solid lipids. Both carrier types are submicron size particles but they can be distinguished by their inner structure. In the present paper, indomethacin (IND)-loaded SLN and NLC were prepared and the organization and distribution of the different ingredients originating each type of nanoparticle system were studied by differential scanning calorimetry (DSC) technique. Furthermore, mean particle size and percentage of drug encapsulation were also determined. From the results obtained, NLC lipid organization guaranteed an increased indomethacin encapsulation in comparison with SLN. DSC static and dynamic measurements performed on SLN and NLC showed that oil nanocompartments incorporated into NLC solid matrix drastically influenced drug distribution inside the nanoparticle system. Controlled release from NLC system could be explained considering both drug partition between oil nanocompartments and solid lipid and a successive partition between solid lipid and water.
 IT 53-86-1, Indomethacin
 RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (characterization of indomethacin-loaded lipid nanoparticles by differential scanning calorimetry)
 RN 53-86-1 HCAPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

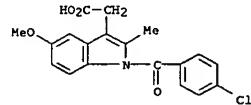


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 216 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 13 Oct 2005
 ACCESSION NUMBER: 2005:1098637 HCAPLUS
 DOCUMENT NUMBER: 144:341
 TITLE: Pharmacological Investigation of Trimetazidine in Models of Inflammation, Pain and Gastric Injury in Rodents
 AUTHOR(S): Abdel-Salam, Omar M. E.; El-Batran, Siham
 CORPORATE SOURCE: Department of Pharmacology, National Research Centre, Cairo, Egypt
 SOURCE: Pharmacology (2005), 75(3), 122-132
 CODEN: PHGRN; ISSN: 0031-7012
 PUBLISHER: S. Karger AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The antinociceptive, anti-inflammatory and gastric effects of trimetazidine (2,3,4-trimethoxybenzyl-piperazine dihydrochloride), a novel anti-ischemic compound, were evaluated in various animal models. In acute pain models, namely acetic acid-induced writhing, hot-plate assay, tail elec. stimulation test, capsaicin-induced pain and the formalin test, trimetazidine (1.8-7.2 mg/kg, i.p.) showed marked antinociceptive effects. Trimetazidine did not produce any behavioral impairment as revealed by the mouse rotarod. The inhibition of writhing response by trimetazidine was reduced by yohimbine, theophylline (and to a certain extent by sulphide) but not by prazosin, guanethidine, naloxone, atropine, propranolol, haloperidol, domperidone, clozapine, glibenclamide or caffeine. The carrageenan-evoked acute paw edema was reduced by 19.2-21.2 and 17-18.6% by 3.6 and 7.2 mg/kg trimetazidine, resp. The drug did not alter the edema-suppressive effect of indomethacin or dexamethasone, but reduced that of rofecoxib. Trimetazidine at 7.2 mg/kg reduced immobility time in Porsolt's forced-swimming test by 28.9%. The acute gastric mucosal lesions evoked by indomethacin in the rat were inhibited in a dose-dependent manner by co-administration of trimetazidine. In anesthetized rats, trimetazidine potentiated the gastric acid secretory response. This study indicates that trimetazidine possesses antinociceptive and gastric protective properties. The antinociceptive properties of trimetazidine are likely to be centrally mediated, but do not involve opioid pathways.

IT 53-86-1, Indomethacin
 RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmacol. investigation of trimetazidine in models of inflammation, pain and gastric injury in rodents)

RN 53-86-1 HCAPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

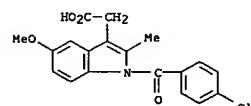


L13 ANSWER 216 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
 REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 217 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 13 Oct 2005
 ACCESSION NUMBER: 2005:1097880 HCAPLUS
 DOCUMENT NUMBER: 144:141576
 TITLE: An update on the other telomerase inhibitors: Non-G-quadruplex interactive agent, non-antisense, non-reverse transcriptase telomerase inhibitors
 AUTHOR(S): Beltz, L. A.; Manfredi, K. P.
 CORPORATE SOURCE: Department of Biology, University of Northern Iowa, Cedar Falls, IA, 50614, USA
 SOURCE: Medicinal Chemistry Reviews--Online (2005), 2(4), 325-343
 CODEN: MCRC9; ISSN: 1567-2034
 URL: <http://www.ingentaconnect.com/content/ben/mcrc/2005/00000002/00000004/art00006>
 PUBLISHER: Bentham Science Publishers Ltd.
 DOCUMENT TYPE: Journal: General Review: (online computer file)
 LANGUAGE: English
 AB A review. Human telomeres are several kilobases of repeated (TTAGGG) sequences at the ends of chromosomes, a short fragment of which is lost with each cell division. This shortening serves as a "mitotic clock", limiting the number of divisions which normal somatic cells can undergo. Cells undergoing continuous division need some method of bypassing this clock. One such method is the expression of telomerase, a ribonucleoprotein that rebuilds the lost portion of telomeres. Between 80-95% of tumors are telomerase-pos., including ovarian and hepatocellular carcinoma, neuroblastoma, leukemia/lymphoma, and cancers of the breast, prostate, lung, kidneys and bladder, and many immortalized cell lines. While absent in most normal tissues, it is expressed at higher levels in germline tissues, bone marrow, and lymphocytes. Due to telomerase expression in most tumor cells and its absence in most normal tissues, telomerase inhibitors are being investigated as anticancer agents. This review focuses on non-reverse transcriptase inhibitor, non-oligonucleotide, non-G-quartet interactive agent telomerase inhibitors. These agents include: differentiating agents, kinases and phosphatases, cell cycle and apoptosis regulating agents, immunotherapeutic agents, antibiotics, steroids, bisindole derivs., and a variety of other compds., including herbal medical compds. and cyclooxygenase inhibitors. These agents hold great promise for the future treatment of malignancies.

IT 53-86-1, Indomethacin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (non-G-quartet interactive indomethacin are being studied as anticancer agent and holds great promise for future treatment of malignancies)

RN 53-86-1 HCAPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI) (CA INDEX NAME)

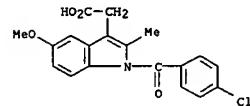


REFERENCE COUNT: 233 THERE ARE 233 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

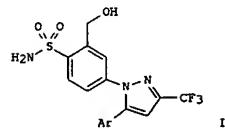
10518612 and 10519219

L13 ANSWER 217 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)
FORMAT

L13 ANSWER 218 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 Oct 2005
ACCESSION NUMBER: 2005:1089143 HCPLUS
DOCUMENT NUMBER: 143:318334
TITLE: Sex-related differences in the antinociceptive effect
of some non-narcotic analgetics in rats: the role of
biotransformation
AUTHOR(S): Voloschuk, N. I.; Pentyuk, A. A.; Durnev, A. D.
CORPORATE SOURCE: Vinnitsa National Medical University, Vinnitsa, 21018,
Ukraine
SOURCE: Ekspериментальная и клиническая фармакология
(2005), 68 (4), 56-59
CODEN: EKFAE9; ISSN: 0869-2092
PUBLISHER: Izdatel'stvo Foliom
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Non-narcotic analgetics sodium diclofenac, indomethacin, naproxen,
nimesulid, ketorolac, and celebrex (cytochrome P 4502c substrates)
produce more pronounced and prolonged analgesic effect in
pubertate female rats than in males. This can be related to the slower
elimination of drugs from the female organism. The liver of females is
characterized by a lower content of cytochrome P 450 and by less
pronounced activity of amidopyrine-N-, indomethacin-O-, and
naproxen-O-demethylase activity. No sex-related differences in
pharmacodynamics were observed for meloxicam, and etoricoxib,
benzofurocaine, and amison, and acetylsalicylic acid, which are the
substrates predominantly for CYP3A.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(role of biotransformation in sex-related differences on
antinociceptive effect of some non-narcotic analgetics in rats)
RN 53-86-1 HCPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)

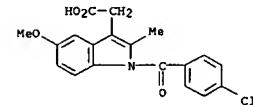


L13 ANSWER 219 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 10 Oct 2005
ACCESSION NUMBER: 2005:1084376 HCPLUS
DOCUMENT NUMBER: 144:6719
TITLE: Synthesis and SAR/3D-QSAR studies on the COX-2
inhibitory activity of 1,5-diarylpyrazoles to validate
the modified pharmacophore
AUTHOR(S): Singh, Sunil K.; Saibaba, V.; Rao, K. Srinivasa;
Reddy, P. Ganapati; Daga, Pankaj R.; Rajjak, S. Abdul;
Misra, Parimal; Rao, Y. Koteshwar
CORPORATE SOURCE: Discovery Chemistry, Discovery Research-Dr. Reddy's
Laboratories Ltd., Hyderabad, 500 049, India
SOURCE: European Journal of Medicinal Chemistry (2005),
40 (10), 977-990
CODEN: EJMCAS; ISSN: 0223-5234
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Diverse analogs of 1,5-diarylpyrazoles having 3-hydroxymethyl-4-
sulfamoylphenyl or 3-hydroxymethyl-4-methylsulfonylphenyl group at N1 were
synthesized and evaluated for their in vitro cyclooxygenase (COX-1/COX-2)
inhibitory activity. The SAR study mainly involved the variations at
positions C-3, C-5 and N1 of the pyrazole ring. Several small hydrophobic
groups at/around the para position of C-5 Ph, such as in title compds. I
(R = 3,4-dimethylphenyl, 3-methyl-4-(methylthio)phenyl,
2,3-dihydrobenzothien-5-yl), produced impressive COX-2
inhibitory potency. In general, replacement of CF3 group with CHF2
resulted in more potent inhibitors. The three dimensional quant.
structure activity relationship comprising comparative mol. field anal.
(3D-QSAR-COMFA) afforded the models with high predictability which further
validated the acceptance of hydroxymethyl (CH2OH) group in the hydrophilic
pocket of the COX-2 enzyme.
IT 53-86-1, Indomethacin
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation and structure-activity studies of diarylpyrazoles as
inhibitors
of COX-2)
RN 53-86-1 HCPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)

L13 ANSWER 219 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

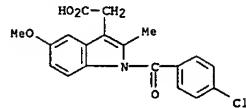


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10518612 and 10519219

L13 ANSWER 220 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 07 Oct 2005
ACCESSION NUMBER: 2005:1077130 HCPLUS
DOCUMENT NUMBER: 143:379017
TITLE: Distribution of the novel antifolate pemetrexed to the brain
AUTHOR(S): Dai, Haiping; Chen, Ying; Elmquist, William F.
CORPORATE SOURCE: Department of Pharmacetics, University of Minnesota, Minneapolis, MN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (2005), 315(1), 222-229
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Pemetrexed disodium is a novel antifolate that exhibits potent inhibitory effects on multiple enzymes in folate metabolism. Phase II/III clin. trials have shown that pemetrexed is effective against various solid tumors. Like methotrexate, pemetrexed may be useful in treatment of primary and secondary brain tumors. In this study, we examined the central nervous system (CNS) distribution of pemetrexed and the interaction with an organic anion transport inhibitor, indomethacin. Male Wistar rats were administered pemetrexed by either single i.v. bolus or constant i.v. infusion. Unbound pemetrexed in blood and brain was measured by simultaneous arterial blood and frontal cortex microdialysis sampling. In the i.v. bolus expts., indomethacin was administered by i.v. bolus (10 mg/kg) followed by i.v. infusion (0.1 mg/kg/h) in a crossover manner. In the infusion expts., the same dose of indomethacin was administered after a steady state was reached for pemetrexed. CNS distributional kinetics was analyzed by compartmental and noncompartmental methods. Both bolus and infusion studies showed that pemetrexed has a limited CNS distribution. The mean area under concentration-time curve (AUC)brain/AUCplasma ratio of unbound pemetrexed was 0.078 ± 0.03 in the i.v. bolus study. The pemetrexed steady-state brain-to-plasma unbound concentration ratio after i.v. infusion was 0.106 ± 0.054 . The distributional clearance into the brain was approx. 10% of the clearance out of the brain in both the compartmental and noncompartmental analyses. Indomethacin had no effect on either the brain-to-plasma AUC ratio or the steady-state brain-to-plasma concentration ratio. The distribution of pemetrexed into the brain is limited, and an efflux clearance process, such as an efflux transporter, may be involved.
IT 53-86-1, Indomethacin
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(distribution of novel antifolate pemetrexed to brain)
RN 53-86-1 HCPLUS
CN 1H-indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)

L13 ANSWER 220 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)



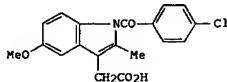
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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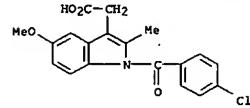
L13 ANSWER 2900 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 12 May 1984
 ACCESSION NUMBER: 1983:204504 HCPLUS
 DOCUMENT NUMBER: 98:204504
 TITLE: The influence of the microcapsule wall on the assay of indometacin microcapsules in the presence of antacids - implications for product stability
 AUTHOR(S): Howe, J. S.; Carless, J. E.
 CORPORATE SOURCE: Dep. Pharm. Sch. Pharm., London, WC1N 1AX, UK
 SOURCE: International Journal of Pharmaceutics (1983), 13(3), 313-20
 DOCUMENT TYPE: CODEN: IJPHDE ISSN: 0378-5173
 LANGUAGE: Journal English
 GI



AB indomethacin (I) [53-86-1] microcapsules prepared by a gelatin-acacia complex coacervation technique were assayed by extraction with 70% aqueous MeOH and subsequent UV absorption of the filtered solution at 320 nm. In the presence of the antacid hydroxylcitate [12304-65-3], a recovery of approx. 50% of I from the microcapsules was observed. Paradoxically, complete recovery of unencapsulated I in the presence of antacid was found when subjected to the same anal. technique. The hydrolysis products were identified as p-chlorobenzoic acid [74-11-3] and 5-methoxy-2-methylindole-3-acetic acid [2882-15-7] which were identical to those obtained by the hydrolysis in aqueous NaOH, together with a 3rd product, Me p-chlorobenzoate [1126-46-1]. The capsule wall thus had a catalytic effect in causing the decomposition of the core in the assay procedure. However, removal of the antacid prior to assay by adding an excess of dilute HCl prevented the decomposition.

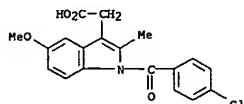
IT 53-86-1
 RL: ANT (Analyte); ANST (Analytical study)
 (determination of, in microcapsules in presence of talcrite by spectrophotometry, microcapsule wall in relation to)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)

L13 ANSWER 2900 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN (Continued)

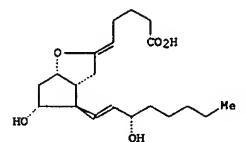


L13 ANSWER 2901 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 12 May 1984
 ACCESSION NUMBER: 1983:195506 HCPLUS
 DOCUMENT NUMBER: 98:195506
 TITLE: Biosynthesis of lipoygenase products by ocular tissues
 AUTHOR(S): Williams, Richard N.; Bhattacharjee, Parimal; Eakins, Kenneth E.
 CORPORATE SOURCE: Pharmacol. Dep., Wellcome Res. Lab., Beckenham/Kent, BR3 3BS, UK
 SOURCE: Experimental Eye Research (1983), 36(3), 397-402
 DOCUMENT TYPE: CODEN: EXER6; ISSN: 0014-4835
 LANGUAGE: Journal English
 AB The metabolism of arachidonic acid via the lipoygenase pathway was investigated in conjunctival and iris tissue taken from eyes of various species. The effects of 2 inhibitors of arachidonate metabolism, BW 755 and indomethacin, on albinos rabbit ocular tissues were also studied. The ocular tissues of most species (monkey, dog, cat, rabbit, guinea pig, and rat) formed lipoygenase products from exogenous arachidonic acid. The exception was the albinos rabbit iris, where no lipoygenase product was detected. The major lipoygenase product found was 12-hydroxyeicosatetraenoate (12-HETE), although 5-HETE and 5,12-dihydroxyeicosatetraenoate were formed to a lesser extent by the conjunctiva and iris of the Dutch rabbit. The rat ocular tissues and guinea pig conjunctiva also formed 5-HETE. In the conjunctiva of the albinos rabbit, indomethacin was a relatively specific inhibitor of the cyclooxygenase pathway, whereas BW 755 inhibited both the cyclooxygenase and lipoygenase pathways of arachidonic acid metabolism. Dual inhibitors of cyclooxygenase and lipoygenase pathways may be useful agents to control ocular inflammatory responses.

IT 53-86-1
 RL: BIOI (Biological study)
 (arachidonate cyclooxygenase pathway inhibition by, in eye conjunctiva)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)



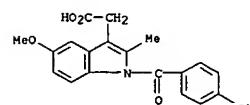
L13 ANSWER 2902 OF 3791 HCPLUS COPYRIGHT 2006 ACS on STN
 ED Entered STN: 12 May 1984
 ACCESSION NUMBER: 1983:192410 HCPLUS
 DOCUMENT NUMBER: 98:192410
 TITLE: Relaxation of human isolated pulmonary arteries by prostacyclin (PGI2)
 AUTHOR(S): Hadhazy, P.; Vizi, E. S.; Magyar, K.; Debreczeni, L. A.; Hutas, I.
 CORPORATE SOURCE: Dep. Pharmacodyn., Semmelweis Univ. Med., Budapest, H-1445, Hung.
 SOURCE: Lung (1983), 161(2), 123-30
 DOCUMENT TYPE: CODEN: LUNG09; ISSN: 0341-2040
 LANGUAGE: Journal English
 GI



AB The increased tone of isolated human pulmonary arteries resulting from indomethacin [53-86-1], elec. stimulation, norepinephrine, PGF2 α , or K⁺ excess was dose dependently decreased by PGI2 (I) [35121-78-9]. IC50 values (molar concns. producing 50% relaxation) were 10-58.8 nmol/L. The potency of the relaxant effect of I was inversely related to the magnitude of tone induced prior to addition of I

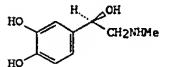
and independent of the type of tone inducer. The relaxant effect of I on the human pulmonary artery may be of clin. importance in the treatment of conditions associated with a rise in pulmonary vascular resistance.

IT 53-86-1
 RL: BIOI (Biological study)
 (pulmonary artery of human contraction by)
 RN 53-86-1 HCPLUS
 CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
 (CA INDEX NAME)

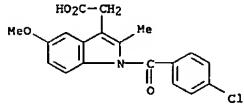


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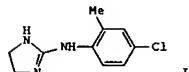
L13 ANSWER 2903 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:192254 HCAPLUS
DOCUMENT NUMBER: 98:192254
TITLE: Shifts in the lipid peroxide content in adrenaline
injury of the myocardium and their depression by
indomethacin
AUTHOR(S): Sisakyan, S. A.; Semerdzhyan, L. V.; Mkhitarian, V. G.
CORPORATE SOURCE: Erevan. Med. Inst., Yerevan, USSR
SOURCE: Zhurnal Eksperimental'noi i Klinicheskoi Meditsiny
(1982), 22(6), 494-7
CODEN: ZOMAX; ISSN: 0514-7484
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



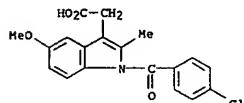
AB adrenaline (I) [51-43-4] injected i.m. into rats produced myocardial infarction accompanied by an increase in the lipid peroxide content of the heart. The effect of I on lipid peroxidation was prevented if indomethacin [53-86-1] was administered simultaneously with the catecholamine.
IT 53-86-1
RL: BIOL (Biological study)
(lipid peroxidation in heart infarction from adrenaline prevention by)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)



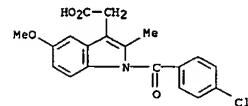
L13 ANSWER 2905 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:191443 HCAPLUS
DOCUMENT NUMBER: 98:191443
TITLE: Therapeutic and adjunctive applications of an
imidazoline antiinflammatory agent
AUTHOR(S): Holsapple, Michael P.; Trizzino, Jeannie; Nichols,
David E.; Yim, George K. W.
CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Purdue Univ., West
Lafayette, IN, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics
(1983), 224(3), 567-71
CODEN: JPETAB; ISSN: 0022-3565
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A unique combination of anti-inflammatory and antiulcerogenic activities is described for 2-(2-methyl-4-chlorophenylamino)-2-imidazoline (CDMI) (I) [4201-26-7]. CDMI administered i.p. produced a dose-related decrease in aspirin [50-78-2]-induced ulcers which persisted even in the presence of exogenously added HCl. The carrageenin-edema reducing activities of i.p. CDMI and oral aspirin were additive. When oral CDMI was combined with oral aspirin or oral indomethacin [53-86-1], the combinations also resulted in additive anti-inflammatory activities (80 and 94% vs. 52% for CDMI, 62% for aspirin and 71% for indomethacin alone). Moreover, gastric ulcerogenicity was reduced by 92% when either aspirin or indomethacin was combined with CDMI. CDMI was also tested against a developing acute inflammatory reaction. When administered at 2 h post carrageenin, CDMI was as effective as when it was administered 30 min before the carrageenin. These results are discussed as a possible reflection of an action on the lipoxygenase [9029-60-1] pathways of the arachidonic acid [506-32-1] cascade that is not shared by the classical nonsteroidal anti-inflammatory agents.
IT 53-86-1
RL: BIOL (Biological study)
(anti-inflammatory and antiulcer activity of imidazoline derivative in
combination with)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)



L13 ANSWER 2904 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN
ED Entered STN: 12 May 1984
ACCESSION NUMBER: 1983:191451 HCAPLUS
DOCUMENT NUMBER: 98:191451
TITLE: Effect of indomethacin on postsurgical edema in rats
AUTHOR(S): Amin, Mohamed M.; Engel, Milton B.; Laskin, Daniel M.
CORPORATE SOURCE: Coll. Dent., Tanta Univ., Tanta, Egypt
SOURCE: Oral Surgery, Oral Medicine, Oral Pathology (1983),
55(3), 244-6
CODEN: OSOMAE; ISSN: 0030-4220
DOCUMENT TYPE: Journal
LANGUAGE: English
AB I.m. indomethacin (I) [53-86-1] was as effective as hydrocortisone succinate [2203-97-6] in controlling edema resulting from exptl.-induced surgical trauma in rats. Both drugs produced a significant reduction in tissue water, but no difference could be detected between the effects of the 2 drugs. I may be useful clin. for control of postsurgical swelling and pain.
IT 53-86-1
RL: BIOL (Biological study)
(edema from surgery treatment with)
RN 53-86-1 HCAPLUS
CN 1H-Indole-3-acetic acid, 1-(4-chlorobenzoyl)-5-methoxy-2-methyl- (9CI)
(CA INDEX NAME)



L13 ANSWER 2905 OF 3791 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

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COST IN U.S. DOLLARS

| SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|
| 148.09 | 781.89 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE ENTRY | TOTAL SESSION |
|---------------------|------------------|
| -20.25 | -36.75 |

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